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FILE 'HOME' ENTERED AT 15:44:19 ON 10 NOV 2004

=> file .majorbiol
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.63	0.63

FILE 'AGRICOLA' ENTERED AT 15:46:17 ON 10 NOV 2004

FILE 'CABA' ENTERED AT 15:46:17 ON 10 NOV 2004
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FILE 'DISSABS' ENTERED AT 15:46:17 ON 10 NOV 2004
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FILE 'BIOSIS' ENTERED AT 15:46:17 ON 10 NOV 2004
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=> s adipimidate
L1 123 ADIPIMIDATE

=> s l1 and (linker or spacer or tag)
L2 4 L1 AND (LINKER OR SPACER OR TAG)

=> d ibib abs total

L2 ANSWER 1 OF 4 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2003:193669 BIOSIS
DOCUMENT NUMBER: PREV200300193669
TITLE: Immobilization of thermolysin to polyamide nonwoven materials.
AUTHOR(S): Moeschel, Klaus; Nouaimi, Meryem; Steinbrenner, Christa; Bisswanger, Hans [Reprint Author]
CORPORATE SOURCE: Physiologisch-chemisches Institut der Universitaet Tuebingen, Hoppe-Seyler-Strasse 4, D-72076, Tuebingen, Germany
bisswanger@uni-tuebingen.de
SOURCE: Biotechnology and Bioengineering, (April 20 2003) Vol. 82, No. 2, pp. 190-199. print.
CODEN: BIBIAU. ISSN: 0006-3592.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Apr 2003
Last Updated on STN: 16 Apr 2003

AB In the last few years, an increasing number of biotechnological techniques have been applied to the restoration and conservation of works of art, paintings, old maps, and papers or books. Enzymes can solve problems that give restorers difficulties, although for many applications it is not possible to use soluble enzymes; therefore, it is necessary to look for

suitable carriers for immobilization. Different methods for covalent immobilization of enzymes to polyamide nonwovens were tested, using thermolysin as an example. Two distinct strategies were pursued: (1) controlled, partial hydrolysis of the polymer and subsequent binding of the enzyme to the released amino and carboxy groups; and (2) attachment of reactive groups directly to the polyamide without disintegrating the polymeric structure (O-alkylation). Different spacers were used for covalent fixation of the enzyme in both cases. The enzyme was fixed to the released amino groups by glutaraldehyde, either with or without a **spacer**. Either way, active enzyme could be immobilized to the matrix. However, intense treatment caused severe damage to the stability of the nonwoven fabric, and reduced the mechanical strength. Conditions were investigated to conserve the nonwoven fabric structure while obtaining near-maximum immobilized enzyme activity. Immobilization of the enzyme to the released carboxy group after acid hydrolysis was performed using dicyclohexylcarbodiimide. In comparison to the enzyme bound via the amino group, the yield of immobilized enzyme activity was slightly lower when benzidine was taken as **spacer** and still lower with a 1,6-hexanediamine **spacer**. O-alkylation performed with dimethylsulfate caused severe damage to the nonwoven fabric structure. Considerably better results were obtained with triethyloxonium tetrafluoroborate. As the spacers 1,6-hexanediamine and adipic acid dihydrazide were used, activation for immobilizing thermolysin was performed with glutaraldehyde, **adipimidate**, and azide. With the exception of azide, all combinations of spacers and activation reagents gave high yields of immobilized enzyme activity. Thermolysin immobilized by this technique showed a remarkably improved stability with respect to elevated temperature, extreme pH values, and reduced polarity. The nonwoven fabric can be stored for weeks without loss of enzyme activity by washing with distilled water and drying.

L2 ANSWER 2 OF 4 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 1997:340077 BIOSIS
DOCUMENT NUMBER: PREV199799639280
TITLE: The quaternary geometry of transcription termination factor rho: Assignment by chemical cross-linking.
AUTHOR(S): Horiguchi, Taigo; Miwa, Yoshihiro; Shigesada, Katsuya [Reprint author]
CORPORATE SOURCE: Dep. Biochem., Inst. Virus Res., Kyoto Univ., Sakyo-ku, Kyoto 606, Japan
SOURCE: Journal of Molecular Biology, (1997) Vol. 269, No. 4, pp. 514-528.
CODEN: JMOBAK. ISSN: 0022-2836.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 11 Aug 1997
Last Updated on STN: 11 Aug 1997

AB Transcription termination factor rho from Escherichia coli is a ring-shaped homohexamer of 419 amino acid subunits and catalyzes an ATP-dependent release of nascent RNA transcripts. Previous chemical cross-linking studies suggested that the rho hexamer might have D-3 symmetry with three isologous dimers as protomers. However, our recent mutational analysis of rho alongside its putative structural homology to F-1-ATPase rather argued for C-6 symmetry. To resolve this discrepancy, we have reinvestigated the pattern of cross-linking of rho using various cross-linkers with different functional groups and **spacer** lengths. Upon reaction with dimethyl suberimidate followed by SDS-polyacrylamide gel electrophoresis, rho protein generated a series of cross-linked oligomers up to hexamers, of which dimers migrated as distinct doublet bands of approximately equal intensities. However, the lower band became much stronger than the upper one with dimethyl **adipimidate** and difluorodinitrobenzene, and vice versa with disuccinimdyl glutarate, disuccinimidyl suberate and disulfosuccinimidyl

tartarate. Furthermore, the trimeric products also produced doublet bands, whose relative intensities were again variable with cross-linkers, but in an inverse correlation with those of the dimer bands. These results combined with theoretical considerations support a C-6 symmetry model in which cross-linking is assumed to occur stochastically at one of two alternative sites within each subunit interface with variable relative frequencies depending on cross-linkers. The D-3 symmetry is excluded, for the putative trimeric subspecies should always retain mutually equal intensities in that case. Detailed inspections of the cross-linking kinetics further revealed a moderate characteristic of C-3 symmetry for the rho hexamer such that the collective as well as relative rates of cross-linking at the two available sites could fluctuate between alternating interfaces. The final model designated as C-3/6 is also compatible with other functional and structural properties known for rho.

L2 ANSWER 3 OF 4 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 1995:549072 BIOSIS
DOCUMENT NUMBER: PREV199698563372
TITLE: Production of specific antibodies and development of a non-isotopic immunoassay for carbamazepine by the carbonyl metallo-immunoassay (CMIA) method.
AUTHOR(S): Varenne, Anne; Vessieres, Anne [Reprint author]; Salmain, Michele; Brossier, Pierre; Jaouen, Gerard
CORPORATE SOURCE: Ecole Natl. Superieure Chimie Paris, URA CNRS 403, 11 rue Pierre Marie Curie, 75231 Paris Cedex 05, France
SOURCE: Journal of Immunological Methods, (1995) Vol. 186, No. 2, pp. 195-204.
CODEN: JIMMBG. ISSN: 0022-1759.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Dec 1995
Last Updated on STN: 31 Dec 1995

AB As part of our ongoing work to extend the range of applications of the non-isotopic carbonyl metalloimmunoassay (CMIA), previously developed in our laboratory, we describe here the first CMIA study of carbamazepine. The CMIA method uses a metal carbonyl complex as a non-isotopic tracer, and in this case we chose to employ the dicobalt hexacarbonyl moiety (CO-2(CO-6) attached to an alkyne. Two organometallic tracers, 3 and 7, were synthesized, differentiated by the nature and length of the **spacer** arm of the CO-2(CO)-6 moiety. Two different coupling methods were subsequently used to synthesize the immunogens 1 and 2, the first one used a carbodiimide, while the second, employed dimethyl **adipimidate** as coupling agent. Titer values of the antisera obtained by injection of these immunogens into rabbits, were determined by CMIA, using one of the organometallic complexes, 3 or 7, as tracer. Both antisera had higher titer values with the long-chain tracer, 7, than with the short-chain tracer, 3. However these titer values were very different: low for antiserum 1 and high for antiserum 2. The cross-reactivity of antiserum 2 with other antiepileptic drugs was negligible. For competition curves, there was good sensitivity with the antibody 213 pairing, while a broad assay range was obtained with antibody 2/7 pairing. These results demonstrate the viability of CMIA as an immunoassay method for carbamazepine, and open the way to development of a simultaneous multiassay by CMIA of the principal antiepileptic drugs.

L2 ANSWER 4 OF 4 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 1983:232683 BIOSIS
DOCUMENT NUMBER: PREV198375082683; BA75:82683
TITLE: EFFECT OF CROSS LINKING AGENTS ON INSULIN ASSOCIATED RESPONSES IN ADIPOCYTES.
AUTHOR(S): GOREN H J [Reprint author]; KAHN C R
CORPORATE SOURCE: DEP MED BIOCHEM, FAC MED, UNIV CALGARY, 3330 HOSP DRIVE NW, CALGARY, ALTA, CANADA T2N 4N1

SOURCE: Canadian Journal of Biochemistry, (1982) Vol. 60, No. 10, pp. 987-1000.
CODEN: CJBIAE. ISSN: 0008-4018.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: ENGLISH

AB The effect of 10 bifunctional cross-linking agents and 4 monofunctional analogs was studied on isolated [rat] adipocytes. [125I]Insulin binding and degradation, basal and insulin-stimulated glucose oxidation, and 3-O-methyl glucose uptake were measured. Two cross-linkers, which possess succinimide ester residues (disuccinimidyl suberate dithiobis(succinimidyl propionate)) and react selectively with amino groups, appeared to react relatively specifically with the insulin receptor. Both produced a slight stimulation of basal glucose transport and metabolism, a marked inhibition of insulin-stimulated glucose transport and metabolism, and a marked decrease in insulin binding. Pretreatment of cells with unlabeled insulin partially blocked the effect of disuccinimidyl suberate, and as was previously shown, disuccinimidyl suberate cross-linked insulin to its receptor. A monofunctional analog of these compounds was 100-fold less active in altering cellular metabolic activity. Bisimidates, such as dimethyl suberimidate, dimethyl **adipimidate** and dimethyl dithiobispropionimidate, also react with free amino groups but are more hydrophilic. These agents produced similar effects on glucose oxidation as the succinimide esters, but had little or no effect on insulin binding. The effects of these agents are not blocked by insulin and they do not cross-link insulin to its receptor. Mixed bifunctional reagents containing either a succinimide ester or an imidate and a group which reacts with thiols produced effects similar to the cross-linkers containing 2 succinimide groups or bisimidates, respectively. The bifunctional arylating agents difluorodinitrobenzene and bis(fluoronitrophenyl)sulfone produce marked effects on insulin binding and glucose oxidation at micromolar concentrations, but the monofunctional analog fluorodinitrobenzene is almost equally active suggesting that with these compounds chemical modifications and not cross-linking was important. With neither the mixed bifunctional reagents, nor the arylating agents, did insulin pretreatment alter the effect of cross-**linker** and none of these agents cross-linked [125I]insulin to its receptor. Apparently the insulin receptor possesses a free amino group in a hydrophobic environment in its active site. A reactive amino group in a hydrophilic environment as well as other reactive groups are also present in some component of the insulin receptor-effector complex. Chemical modification or cross-linking of these functional groups results in an inhibition or mimicking of insulin action. Further study will be required to identify the exact locus of these sites.

=> file .majorchem

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.46	13.09

FILE 'BABS' ENTERED AT 15:50:43 ON 10 NOV 2004

FILE 'CAPLUS' ENTERED AT 15:50:43 ON 10 NOV 2004

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L3 9 L1 AND (LINKER OR SPACER OR TAG)

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L3 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:823936 CAPLUS
DOCUMENT NUMBER: 141:325786
TITLE: Long-acting conjugates of biologically active compounds with macromolecules, and their therapeutic use
INVENTOR(S): Silva, Abelardo; Erickson, John E.; Eissenstat, Michael; Afonina, Elena; Gulnik, Sergei
PATENT ASSIGNEE(S): Sequoia Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085505	A2	20041007	WO 2004-US8847	20040324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 2003-456472P P 20030324
US 2003-456952P P 20030325
US 2003-518892P P 20031110

AB The invention provides biol. active compds. that may be reacted with macromols., e.g. albumin, to form covalently linked complexes, wherein the resulting complexes exhibit a desired biol. activity in vivo. More specifically, the complexes are isolated complexes comprising a biol. active moiety covalently bound to a linking group and a protein. The complexes are prepared by conjugating a biol. active moiety, e.g. a renin inhibitor or a viral fusion inhibitor peptide, with purified and isolated protein. The complexes have extended lifetimes in the bloodstream as compared to the unconjugated mol., and exhibit biol. activity for extended periods of time as compared to the unconjugated mol. The invention also provides antiviral compds. that are inhibitors of viral infection and/or exhibit anti-fusiogenic properties. In particular, the invention provides compds. having inhibiting activity against viruses such as human immunodeficiency virus (HIV), respiratory syncytial virus (RSV), human parainfluenza virus (HPV), measles virus (MeV), and simian immunodeficiency virus (SIV) and that have extended duration of action for the treatment of viral infections.

L3 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:612470 CAPLUS
DOCUMENT NUMBER: 141:153495
TITLE: Methods of labeling proteins exposed to the luminal surface of a vessel in the identification of proteins for targeting drug delivery
INVENTOR(S): Roben, Paul; Stevens, Anthony C.
PATENT ASSIGNEE(S): Utah Ventures II L.P., USA

SOURCE: U.S. Pat. Appl. Publ., 123 pp., Cont.-in-part of Appl. No. PCT/US03/10195.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004146516	A1	20040729	US 2004-794899	20040305
US 2003021792	A1	20030130	US 2002-165603	20020607
WO 2003084469	A2	20031016	WO 2003-US10195	20030331
WO 2003084469	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 1999-139579P	P	19990617
US 2000-528742	A2	20000320
US 2001-297021P	P	20010608
US 2001-305117P	P	20010712
US 2002-369452P	P	20020401
US 2002-165603	A2	20020607
WO 2003-US10195	A2	20030331

AB Reagents that can be used to label proteins exposed on the luminal surface of an anatomical structure are identified. The proteins identified by these reagents may be used as affinity targets for the cell- or tissue-specific delivery of drugs. The method uses labeling reagents that do not pass through biol. membranes. They have a domain that binds or reacts relatively non-specifically to proteins and that is connected to a reporter group by a **linker** that is labile to non-denaturing reducing conditions. The labeled proteins can then be identified in homogenates. Use of the method to identify proteins of the lumina of several organs of rat is demonstrated. Use of two of these proteins, dipeptidyl peptidase IV and Thy-1 antigen, to direct transcytosis of antibodies in lung is demonstrated. Antibodies to the proteins were transported from the luminal space of the blood vessels of the lung across the endothelium. Similarly, conjugates of antibodies and antibiotics or antineoplastic drugs could also be transported by transcytosis.

L3 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591215 CAPLUS
DOCUMENT NUMBER: 139:144956
TITLE: Ligand binding domains of cytokine which are linked via flexible polypeptide **linker** and uses in therapy
INVENTOR(S): Ross, Richard; Artymiuk, Peter; Sayers, Jon
PATENT ASSIGNEE(S): Asterion Limited, UK
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003062276	A2	20030731	WO 2003-GB253	20030124
WO 2003062276	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1468020	A2	20041020	EP 2003-702702	20030124

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.:	GB 2002-1679	A 20020125
	WO 2003-GB253	W 20030124

AB The invention relates to the provision of oligomeric polypeptides (dimers, trimers, etc) comprising the ligand binding domains of cytokines which are linked via flexible polypeptide **linker** mols. The **linker** mols. optionally comprise protease sensitive sites to modulate the release of biol. active cytokines when administered to a human or animal subject. The invention also relates to chemical crosslinkers wherein the chemical crosslinkers serve to link the ligand binding domains. The chimeric cytokine can be used for treating acromegaly, gigantism, GH deficiency, Turners syndrome, renal failure, osteoporosis, diabetes mellitus, cancer, obesity, insulin resistance, hyperlipidemia, hypertension, anemia, autoimmune and infectious disease, inflammatory disorders including rheumatoid arthritis.

L3 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2003:237068 CAPLUS
DOCUMENT NUMBER:	139:334755
TITLE:	Immobilization of thermolysin to polyamide nonwoven materials
AUTHOR(S):	Moeschel, Klaus; Nouaimi, Meryem; Steinbrenner, Christa; Bisswanger, Hans
CORPORATE SOURCE:	Physiologisch-chemisches Institut der Universitat Tuebingen, Tuebingen, D-72076, Germany
SOURCE:	Biotechnology and Bioengineering (2003), 82(2), 190-199 CODEN: BIBIAU; ISSN: 0006-3592
PUBLISHER:	John Wiley & Sons, Inc.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB In the last few years, an increasing number of biotechnol. techniques have been applied to the restoration and conservation of works of art, paintings, old maps, and papers or books. Enzymes can solve problems that give restorers difficulties, although for many applications it is not possible to use soluble enzymes; therefore, it is necessary to look for suitable carriers for immobilization. Different methods for covalent immobilization of enzymes to polyamide nonwovens were tested, using thermolysin as an example. Two distinct strategies were pursued: (1) controlled, partial hydrolysis of the polymer and subsequent binding of the enzyme to the released amino and carboxy groups; and (2) attachment of reactive groups directly to the polyamide without disintegrating the polymeric structure (O-alkylation). Different spacers were used for covalent fixation of the enzyme in both cases. The enzyme was fixed to the released amino groups by glutaraldehyde, either with or without a **spacer**. Either way, active enzyme could be immobilized to the matrix. However, intense treatment caused severe damage to the stability of the nonwoven fabric, and reduced the mech. strength. Conditions were

investigated to conserve the nonwoven fabric structure while obtaining near-maximum immobilized enzyme activity. Immobilization of the enzyme to the released carboxy group after acid hydrolysis was performed using dicyclohexylcarbodiimide. In comparison to the enzyme bound via the amino group, the yield of immobilized enzyme activity was slightly lower when benzidine was taken as **spacer** and still lower with a 1,6-hexanediamine **spacer**. O-alkylation performed with dimethylsulfate caused severe damage to the nonwoven fabric structure. Considerably better results were obtained with triethyloxonium tetrafluoroborate. As the spacers 1,6-hexanediamine and adipic acid dihydrazide were used, activation for immobilizing thermolysin was performed with glutaraldehyde, **adipimidate**, and azide. With the exception of azide, all combinations of spacers and activation reagents gave high yields of immobilized enzyme activity. Thermolysin immobilized by this technique showed a remarkably improved stability with respect to elevated temperature, extreme pH values, and reduced polarity. The nonwoven fabric can be stored for weeks without loss of enzyme activity by washing with distilled water and drying.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:327937 CAPLUS
DOCUMENT NUMBER: 136:345760
TITLE: Multivalent polymyxin antibiotics
INVENTOR(S): Griffin, John H.; Judice, J. Kevin
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: U.S., 82 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6380356	B1	20020430	US 1999-455660	19991207

PRIORITY APPLN. INFO.: US 1999-455660 19991207
OTHER SOURCE(S): MARPAT 136:345760
AB Disclosed are multibinding compds. which are antibiotics effective against bacterial infections, in particular, Gram-neg. bacterial infections. The multibinding compds. of this invention containing from 2 to 10 ligands covalently attached to one or more linkers. Examples of linkers are azelaic acid, di-Me **adipimidate**, pentanedioic acid, and 1,3,5-benzenetricarboxylic acid. Each ligand is a polymyxin, circulin or octapeptin antibiotic or other suitable compound which binds to the LPS present in bacteria, in particular, Gram-neg. bacteria. The multibinding compds. of this invention are useful for the prophylaxis and treatment of various bacterial infections caused by bacteria.
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:430800 CAPLUS
DOCUMENT NUMBER: 127:146255
TITLE: The quaternary geometry of transcription termination factor rho: assignment by chemical crosslinking
AUTHOR(S): Horiguchi, Taigo; Miwa, Yoshihiro; Shigesada, Katsuya
CORPORATE SOURCE: Dep. Biochem. Inst. for Virus Res., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Journal of Molecular Biology (1997), 269(4), 514-528
CODEN: JMOBAK; ISSN: 0022-2836
PUBLISHER: Academic

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Transcription termination factor rho from Escherichia coli is a ring-shaped homohexamer of 419 amino acid subunits and catalyzes an ATP-dependent release of nascent RNA transcripts. Previous chemical crosslinking studies suggested that the rho hexamer might have D3 symmetry with three isologous dimers as protomers. However, the author's recent mutational anal. of rho alongside its putative structural homol. to F1-ATPase rather argued for C6 symmetry. To resolve this discrepancy, the authors have reinvestigated the pattern of crosslinking of rho using various cross-linkers with different functional groups and **spacer** lengths. Upon reaction with di-Me suberimidate followed by SDS-polyacrylamide gel electrophoresis, rho protein generated a series of cross-linked oligomers up to hexamers, of which dimers migrated as distinct doublet bands of approx. equal intensities. However, the lower band became much stronger than the upper one with di-Me **adipimidate** and difluorodinitrobenzene, and vice versa with disuccinimidyl glutarate, disuccinimidyl suberate and disulfosuccinimidyl tartarate. Furthermore, the trimeric products also produced doublet bands, whose relative intensities were again variable with cross-linkers, but in an inverse correlation with those of the dimer bands. These results combined with theor. considerations support a C6 symmetry model in which crosslinking is assumed to occur stochastically at one of two alternative sites within each subunit interface with variable relative frequencies depending on cross-linkers. The D3 symmetry is excluded, for the putative trimeric subspecies should always retain mutually equal intensities in that case. Detailed inspections of the crosslinking kinetics further revealed a moderate characteristic of C3 symmetry for the rho hexamer such that the collective as well as relative rates of crosslinking at the two available sites could fluctuate between alternating interfaces. The final model designated as C3/6 is also compatible with other functional and structural properties known for rho.

L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:362499 CAPLUS
DOCUMENT NUMBER: 122:142552
TITLE: Amplification of the vitamin B12 uptake system using polymers
INVENTOR(S): Russell-Jones, Gregory John; Westwood, Steven William; Gould, Alison Ruth; McInerney, Bernard Vincent
PATENT ASSIGNEE(S): Biotech Australia Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427641	A1	19941208	WO 1994-AU273	19940524
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5449720	A	19950912	US 1993-64892	19930524
CA 2163226	AA	19941208	CA 1994-2163226	19940524
AU 9467903	A1	19941220	AU 1994-67903	19940524
AU 706723	B2	19990624		
ZA 9403599	A	19951124	ZA 1994-3599	19940524
BR 9406725	A	19960206	BR 1994-6725	19940524
EP 701448	A1	19960320	EP 1994-916096	19940524

EP 701448	B1	20020814			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1126441	A	19960710	CN 1994-192682		19940524
JP 08510261	T2	19961029	JP 1994-500022		19940524
HU 75058	A2	19970328	HU 1995-3343		19940524
RU 2139732	C1	19991020	RU 1995-122664		19940524
PL 177400	B1	19991130	PL 1994-311740		19940524
IL 109745	A1	20000131	IL 1994-109745		19940524
AT 222123	E	20020815	AT 1994-916096		19940524
PRIORITY APPLN. INFO.:			US 1993-64892	A	19930524
			WO 1994-AU273	W	19940524

AB An oral delivery of peptide and protein pharmaceuticals comprises of the use of vitamin B12 (VB12) uptake system, with the delivery being amplified using polymers. A complex has the general formula: (V-Q)n-P-(Q'-A)m, where V is a carrier which will bind to natural intrinsic factor (IF) selected from vitamin B12 or its analog, n is the molar substitution ratio of V in the complex (.apprx.1-10), P is a pharmaceutically acceptable polymer, A is a pharmaceutically active substance, m is the molar substitution ratio of A in the complex (>1-1000), Q and Q' are independently a covalent bond, or a **spacer** compound linking V, P and A by covalent bonds. Multi-lysine polymers were prepared and conjugated with ANTIDE-1, ANTIDE-3 and VB12 using non-cleavable homo bifunctional crosslinkers.

L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1989:549345 CAPLUS
DOCUMENT NUMBER:	111:149345
TITLE:	Enhanced stability of glucoamylase through chemical crosslinking
AUTHOR(S):	Tatsumoto, Kuniyasu; Oh, Kenneth K.; Baker, John O.; Himmel, Michael E.
CORPORATE SOURCE:	Sol. Fuels Div., Sol. Energy Res. Inst., Golden, CO, 80401, USA
SOURCE:	Applied Biochemistry and Biotechnology (1989), Volume Date 1988, 20-21, 293-308 CODEN: ABIBDL; ISSN: 0273-2289
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB A series of bifunctional chemical modification reagents, presenting variations in both the chemical of the functional groups and in the length of the **spacer** between the 2 reactive groups, have been evaluated as agents for enhancing the thermal stability of purified Aspergillus niger amyloglucosidase by means of intramol. crosslinking. Several chemical modifiers (e.g., diimido esters) were identified that more than double the half-life of this industrially important enzyme during incubation at 65° in the absence of substrate. The increased stability of the modified enzymes has been correlated with changes in the fluorescence-monitored thermal denaturation curves of the modified enzymes, relative to that of the native enzyme.

L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1975:167097 CAPLUS
DOCUMENT NUMBER:	82:167097
TITLE:	Preparation of several new nylon tube-glucose oxidase derivatives and their incorporation into the reagentless automated analysis of glucose
AUTHOR(S):	Campbell, J.; Hornby, W. E.; Morris, D. L.
CORPORATE SOURCE:	Dep. Biochem., Univ. St. Andrews, St. Andrews/Fife, UK
SOURCE:	Biochimica et Biophysica Acta (1975), 384(2), 307-16 CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Nylon tube was activated by alkylation with Me2SO4 and used for the

immobilization of glucose oxidase. Lysine, hexamethylenediamine, and polyethylenimine were also attached to activated nylon tube, and these nylon tube-**spacer** derivs. were reactivated with either glutaraldehyde or Et **adipimidate** for the subsequent coupling of glucose oxidase. The activities of all of the different nylon tube-glucose oxidase derivs. were compared by their incorporation into standard Technicon automated anal. systems. Activities were measured either spectrometrically, by following the production of H2O2 by using an acid/KI assay, or polarographically by following the decrease in the dissolved O concentration by using a flow-through O electrode assembly. The activity and stability of all of the nylon tube-glucose oxidase derivatives was such that their use in the routine estimation of glucose levels was an attractive proposition.

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L4 12 L1 AND SOLUB?

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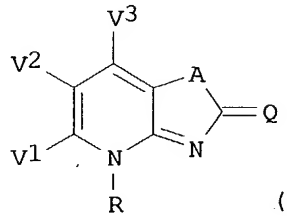
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:235571 CAPLUS
DOCUMENT NUMBER: 134:267731
TITLE: Water-**soluble** azamethine compounds and fluorescent labeling agents containing them
INVENTOR(S): Nishigaki, Junji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089482	A2	20010403	JP 1999-270957	19990924
PRIORITY APPLN. INFO.:			JP 1999-270957	19990924
OTHER SOURCE(S):	MARPAT 134:267731			

GI



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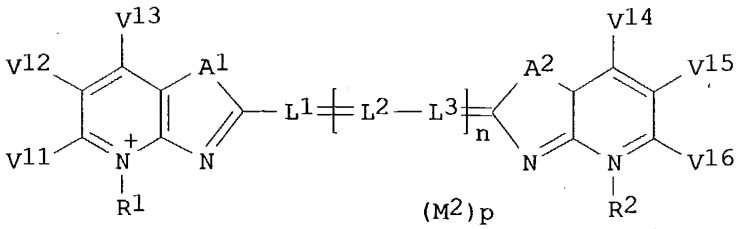


II

AB Title compds. I or II [R, R1, R2 = (un)substituted alkyl; Q = aromatic ring-substituted (poly)methine; L1-L3 = (un)substituted CH; A, A1, A2 = O, S; V1-V3, V11-V16 = H, substituent; M1, M2 = counterion; n = 0-3], useful for dyes, light absorbers, (electro)photog. sensitizers, diagnostic markers, fluorescent labeling agents, etc. (no data), are claimed. Thus, 2-amino-3-hydroxypyridine was cyclized with MeC(OEt)3, methylated, and condensed with HC(OEt)3 to give II (R1 = R2 = Me, L1-L3 = CH, A1 = A2 = O, V11-V16 = H, M2 = I-, n = 1, p = 1), which showed excellent solubility and no aggregation in H2O and aqueous NaCl solution

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:609046 CAPLUS
DOCUMENT NUMBER: 127:264505
TITLE: Effects of the methylene chain length of chemically introduced crosslinks on the properties of collagen
AUTHOR(S): Watanabe, Kazuo; Nakagawa, Junko; Ebihara, Tetsuya; Okamoto, Yasushi
CORPORATE SOURCE: Nippi Research Institute of Biomatrix, Tokyo, 120, Japan
SOURCE: Polymer (1997), 38(20), 5155-5159
CODEN: POLMAG; ISSN: 0032-3861
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Imidate crosslinking agents containing aliphatic methylene chains of various lengths were introduced into lime-**solubilized** collagen (SCL) to stabilize its structure. The crosslinking resulted in an increase in the denaturation temperature and helix regeneration ratio of the modified SCL. The increase was prominent when a large fraction of the amino groups on SCL side chains was modified with a crosslinker containing five or more methylene groups that can encompass more than one collagen helix pitch. These results suggest that the length of a crosslinker is important for the stabilization of the triple-helical structure of the SCL.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

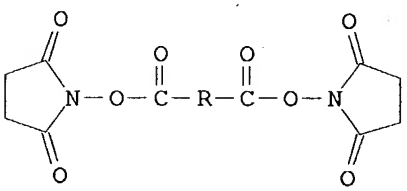
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:725590 CAPLUS
DOCUMENT NUMBER: 126:70485
TITLE: A study of the oligomeric state of the α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid-preferring glutamate receptors in the synaptic junctions of porcine brain
AUTHOR(S): Wu, Tzong-Yuan; Liu, Chun-I.; Chang, Yen-Chung
CORPORATE SOURCE: Dep. Life Science, National Tsing Hua Univ., Hsinchu, 30043, Taiwan
SOURCE: Biochemical Journal (1996), 319(3), 731-739
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The number of the subunits in an α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA)-preferring L-glutamate receptor in the synaptic junctions of porcine brain was investigated in this study. Upon incubation of the synaptic junctions with three crosslinking reagents, di-Me **adipimidate** (DMA), di-Me suberimidate (DMS) and N-succinimidyl-(4-azido-phenyl)-1,3'-dithiopropionate (SADP), AMPA receptor subunits in higher-mol.-mass aggregates were detected by immuno-blotting. These aggregates migrated as proteins of approx. 200, 300 and 400 kDa. The number and identity of the subunits in a **solubilized** AMPA receptor were also investigated here. Two samples, W1 and W2, enriched in AMPA receptors were prepared from synaptic

junctions by a combination of detergent-**solubilization,** anion-exchange chromatog. and wheatgerm agglutinin affinity chromatog. Hydrodynamic behavior analyses revealed that the majority of the AMPA receptors in either one of these samples were asym. detergent-surrounded particles with a protein mass around 350 kDa. SDS-PAGE anal. revealed that the majority of AMPA receptors in the W1 sample were comprised of dimers of 106 kDa subunits which were covalently linked by disulfide bonds. Crosslinking these receptors with SADP yielded a new band of approx. 400 kDa. The results obtained here, either from the studies of AMPA receptors embedding in synaptic junctions or from those of detergent-**solubilized** and partially purified receptors, suggest that AMPA receptors contain a basic core structure comprising of four 106 kDa subunits.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:198920 CAPLUS
DOCUMENT NUMBER: 110:198920
TITLE: Diimidates and disuccinimide derivatives as crosslinking agents for disulfide-treated keratinous material
INVENTOR(S): Siuta-Mangano, Patricia; Edelstein, Herbert
PATENT ASSIGNEE(S): Chesebrough-Pond's, Inc., USA
SOURCE: U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 69,929. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4793993	A	19881227	US 1987-88356	19870824
EP 298684	A2	19890111	EP 1988-306079	19880704
EP 298684	A3	19901122		
EP 298684	B1	19930421		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 88338	E	19930515	AT 1988-306079	19880704
ZA 8804847	A	19900328	ZA 1988-4847	19880706
EP 305128	A1	19890301	EP 1988-307738	19880822
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
ZA 8806282	A	19900425	ZA 1988-6282	19880824
PRIORITY APPLN. INFO.:			US 1987-69929	19870706
			US 1987-88356	19870824
			EP 1988-306079	19880704

OTHER SOURCE(S): MARPAT 110:198920
GI



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AB A process for treating keratinous material in which disulfide bonds have been disrupted to form free sulfhydryl groups comprises the treatment of the keratinous material with a crosslinking agent selected from diimidates R1OC(NH)RC(NH)OR1 (R = connecting moiety; R1 = C1-10-alkyl) or a disuccinimidyl compound I (R = connecting moiety) at a temperature and pH

sufficient to form crosslinkages and insufficient to denature the keratinous material. The crosslinking reagents are water-soluble and, if necessary, contain a moiety to make the compound water-soluble, such as an alkali metal sulfonyl group attached to R, a succinimidyl group, or a quaternary ammonium group that is normally used in hair waving agents; the **solubilizing** group is attached to any group that is split off in the crosslinking reaction so that the byproduct remains water-soluble and can be washed out of the hair. Swatches of brown caucasian hair were wrapped around microrods, treated with a com. available thioglycollate waving lotion for 20 min, rinsed with water, and immersed for 35 min in a solution containing 100 mg disuccinimidyl suberate, 1 mL DMF, and 5 mL 50 mM Na phosphate buffer containing 2% Na dodecyl sulfate. The swatches were soaked in water containing a few drops of 29% Na dodecyl sulfate and dried for 2 h; the curl length of swatches cut to 17.8 cm was 12.7 cm, whereas it was 15.2 cm for hair treated with a com. waving and neutralizing composition

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:530612 CAPLUS
DOCUMENT NUMBER: 107:130612
TITLE: F protein-F protein interaction within the Sendai virus identified by native bonding or chemical cross-linking
AUTHOR(S): Sechoy, Odile; Philippot, Jean R.; Bienvenue, Alain
CORPORATE SOURCE: Cent. Natl. Rech. Sci., Montpellier, 34100, Fr.
SOURCE: Journal of Biological Chemistry (1987), 262(24), 11519-23
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The spatial arrangement of the F protein spike in the Sendai virus was studied after purifying the protein and reconstituting it in lipid vesicles. The different components of the F protein spikes were analyzed by Na dodecyl sulfate-polyacrylamide gel electrophoresis at different temps. and Na dodecyl sulfate concns., using different detergents for F protein **solubilization** (Triton X-100 and octyl glucoside), by fast protein liquid chromatog. anal., and by chemical cross-linking between subunits with bifunctional agents such as di-Me **adipimidate** and dithiobis(succinimidyl propionate). The F protein spike appeared to be a structurally stable complex, composed of a noncovalent association of 4 homooligomers, each consisting of 2 peptides, F1 and F2, linked by a disulfide bond. Octyl glucoside and Triton X-100 **solubilized** the F protein, preserving the tetramer, which is probably the native form. Using chemical cross-linking, a covalent bond was formed between 2 monomers. The tetrameric form of the F protein in its native from (spike) may consist of 2 identical dimers that can be chemical cross-linked in a stable complex.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:22292 CAPLUS
DOCUMENT NUMBER: 102:22292
TITLE: Mechanism of inhibition of sickling by dimethyl **adipimidate**. Effects of intertetramer cross-linking
AUTHOR(S): Pennathur-Das, Rukmani; Heath, Russell; Mentzer, William; Lubin, Bertram
CORPORATE SOURCE: Bruce Lyon Mem. Res. Lab., Children's Hosp. North. California, Oakland, CA, 94609, USA
SOURCE: Biochimica et Biophysica Acta (1984), 791(2), 259-64
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Di-Me **adipimidate** (DMA), an effective antisickling agent in vitro, reacts with free amino groups producing chemical modified and

cross-linked mols. The effect of crosslinked Hb tetramers on sickle Hb polymerization was investigated. Since the extent of cross-linking is pH-dependent, the **solubilities** of deoxygenated hemolyzates prepared from sickle cells previously treated with DMA at either pH 7.4 or 8.4 were compared. The solubility of the hemolyzate increased from 18.6 g/dL in the untreated sample to 20.9 g/dL (pH 7.4) and to 25.4 g/dL (pH 8.4) after DMA treatment. Removal of cross-linked Hb tetramers from hemolyzate obtained from DMA-treated cells abolished part of this effect; at pH 7.4, the solubility decreased from 20.9 to 19.4 and at pH 8.4 from 25.4 to 21.0. However, the ratio of [14C]DMA-labeled Hb in the sol phase to that in the gel phase in the unfractionated hemolyzate was 1.17 at pH 7.4 and 1.25 at pH 8.4, suggesting that part of the crosslinked Hb tetramers was incorporated into the gel. To further investigate the effect of cross-linked Hb tetramers on sickle Hb polymerization, crosslinked Hb tetramers were separated on a gel-filtration column, mixts. of untreated sickle Hb and cross-linked Hb tetramers were prepared and the polymerization of these mixts., studied. The Csat (concentration of Hb in the supernatant) of the untreated hemolyzate increased progressively from 18.6 to 22.5 g/dL with 33% cross-linked Hb tetramers. The Hb concentration in the gel decreased from 43 to 33.8 g/dL with 33% crosslinked Hb tetramers, while the pellet volume fraction, .vphi.p, increased with and almost approached 1 at 50% cross-linked Hb tetramers. In addition, the sol phase contained a higher mol. weight distribution of cross-linked Hb tetramers than the gel phase. These observations suggest that a loose polymer was formed in the gel phase with a thrombin concentration much lower than that of the control. Thus, polymerization of sickle Hb is inhibited by: (1) exclusion of higher mol. weight cross-linked Hb tetramers from the gel, and (2) loose incorporation of cross-linked Hb tetramers into the gel, perhaps preventing lateral packing and formation of tightly ordered fibers.

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:39037 CAPLUS
DOCUMENT NUMBER: 86:39037
TITLE: Protein-protein interaction in the nuclear envelope
AUTHOR(S): Cochran, David L.; Shelton, Keith R.
CORPORATE SOURCE: Dep. Biochem., Virginia Commonw. Univ., Richmond, VA, USA
SOURCE: FEBS Letters (1976), 71(2), 245-7
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Isolated chicken erythrocyte nuclear envelopes were incubated with the bifunctional imido ester, dimethyl suberimidate, and **solubilized** with Na dodecyl sulfate. The 77,000-dalton protein was decreased in content with concomitant increase in material >200,000 daltons. No high-mol.-weight material appeared after incubation with dimethyl **adipimidate**. Thus, the 77,000-dalton polypeptide occurs in a specific oligomeric arrangement in the nuclear envelope.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:417211 CAPLUS
DOCUMENT NUMBER: 85:17211
TITLE: Cross-linking phytochrome to its receptor in situ using imidoesters
AUTHOR(S): Yu, R.; Carter, J.
CORPORATE SOURCE: Res. Sch. Biol. Sci., Aust. Natl. Univ., Canberra, Australia
SOURCE: Journal of Experimental Botany (1976), 27(97), 283-93
CODEN: JEBOA6; ISSN: 0022-0957
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Phytochrome can be cross-linked to a particulate fraction by using imidoesters, namely dimethyl **adipimidate** (DMA) and dimethyl suberimidate (DMS). DMS was more effective than DMA. Cross-linking of phytochrome to its in situ receptor effected by DMS occurred in red light-irradiated coleoptiles. If DMS cross-linking was carried out prior to red light irradiation there was very little formation of particulate phytochrome. Phytochrome in the particulate fraction obtained by in situ DMS cross-linking was totally resistant to the **solubilizing** effect of washing with solution of high salt concentration and high pH and was indistinguishable spectroscopically from the phytochrome in untreated coleoptiles. DMS cross-linking of phytochrome to its assumed receptor in situ preferentially protected it from destruction following red light irradiation and also prevented it from dissociating from its receptor following R/FR (red followed by far-red) irradiation when incubated subsequently in the dark. These characteristics of phytochrome in DMS-treated coleoptiles matched those observed using glutaraldehyde as the cross-linking reagent. Earlier results obtained using glutaraldehyde are not peculiar to that reagent and can be duplicated readily using more defined bifunctional cross-linkers.

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:69508 CAPLUS
DOCUMENT NUMBER: 82:69508
TITLE: Crosslinking of glycolipids in erythrocyte ghost membrane
AUTHOR(S): Ji, Tae H.
CORPORATE SOURCE: Div. Biochem., Univ. Wyoming, Laramie, WY, USA
SOURCE: Journal of Biological Chemistry (1974), 249(24), 7841-7
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human erythrocyte ghosts were chemical crosslinked with a family of bifunctional crosslinking reagents, imidoesters, differing only in the number of methylene groups. Crosslinked membrane glycoproteins and glycolipids were **solubilized** in 1% Na dodecyl sulfate (I) and analyzed by electrophoresis on I-polyacrylamide gels. After crosslinking, 2 prominent new bands of crosslinked products, GP-A and GP-B, appeared. GP-A was produced by all of the reagents, but GP-B only by dimethyl **adipimidate** (length, 8.6 Å) and dimethyl suberimidate (11 Å). In a previous report, GP-A was shown to be a complex of 2 species of the glycoproteins. The components of GP-B are glycolipids and proteins. The crosslinks of the glycolipids and the proteins suggest possible specific association between them. The following observations substantiate the premise. (A) The glycolipids and the proteins always exhibited an identical electrophoretic mobility, regardless of the acrylamide concentration in the gel. Two different mols. having an identical electrophoretic moobility on a gel were separated on another gel of a different acrylamide concentration In particular, this was successful in the case of 1 mol. which has a significantly higher carbohydrate content than the other. (B) Purified bovine glycolipids were crosslinked with one another but the apparent size was significantly smaller than that of GP-B. (C) Diphenyl succinimidate (6.1 Å) could crosslink the glycolipids but not the proteins in the membrane. (D) The relative surgace charge d. of the glycolipids and the proteins in GP-B was the same, which was distinctively different from that of the cleaved proteins. Such specific assocns. may be a possible way to control lateral movement of the glycolipids which carry the blood group-specific antigens and are receptors for other extrinsic mols.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:106438 CAPLUS
DOCUMENT NUMBER: 80:106438

TITLE: Crosslinking of the glycoproteins in human erythrocyte membranes
AUTHOR(S): Ji, T. H.
CORPORATE SOURCE: Div. Biochem., Univ. Wyoming, Laramie, WY, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1974), 71(1), 93-95
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The glycoproteins of human erythrocyte membranes were crosslinked with dimethyl **adipimidate** dihydrochloride. On Na dodecyl sulfate-polyacrylamide gel electrophoregrams of the crosslinked **solubilized** membranes, at least 3 new glycoprotein complexes appeared in addition to the normal glycoprotein species. One of the new glycoprotein complexes contained 2 of the 3 species of membrane glycoproteins.

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:462904 CAPLUS
DOCUMENT NUMBER: 79:62904
TITLE: Crosslinking sialoglycoproteins of human erythrocyte membranes
AUTHOR(S): Ji, T. H.
CORPORATE SOURCE: Div. Biochem., Univ. Wyoming, Laramie, WY, USA
SOURCE: Biochemical and Biophysical Research Communications (1973), 53(2), 508-14
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Human erythrocyte ghosts were treated with a bifunctional crosslinking reagent, dimethyl **adipimidate** dihydrochloride. On Na dodecyl sulfate-polyacrylamide electrophoresis of the crosslinked membrane proteins after **solubilization**, sialoglycoproteins and the proteins disappeared from the original band positions and appeared in a new band of aggregates.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:108777 CAPLUS
DOCUMENT NUMBER: 72:108777
TITLE: Cross-linking of erythrocyte membranes with dimethyl **adipimidate**
AUTHOR(S): Niehaus, Walter G., Jr.; Wold, Finn
CORPORATE SOURCE: Dep. of Biochem., Pennsylvania State Univ., University Park, PA, USA
SOURCE: Biochimica et Biophysica Acta (1970), 196(2), 170-5
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Di-methyl **adipimidate** reacts with lysine residues of proteins to form covalent cross-links. When human erythrocyte membranes are treated with dimethyl **adipimidate** the percentage of protein which can subsequently be **solubilized** by treatment with aqueous pyridine is reduced. This is apparently due to the formation of cross-links between soluble and insol. protein mols. The solubility dis-tribution of protein-bound sialic acid, hexose, and hexosamine is altered in a parallel manner. This effect is not produced by treatment of the membranes with methyl butyroimidate, a mono-functional analog.

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FULL ESTIMATED COST                                     69.11       82.20

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FULL ESTIMATED COST                                     0.21        0.21

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L2           115 L1 AND (LINKER OR SPACER OR TAG)


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L6   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:       2000:849598  CAPLUS
DOCUMENT NUMBER:        134:172478
TITLE:                  Novel chiral stationary phases comprising 2,4-(or
                        2,6)-diamino-5,6-(or 2,5)-dichlorobenzene-
                        1,3-dicarbonitrile and 1-acyl (1R,2R)-
                        diaminocyclohexane
AUTHOR(S):              Kontrec, Darko; Vinkovic, Vladimir; Lesac, Andreja;
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Sunjic, Vitomir; Aced, Ahmed
CORPORATE SOURCE: Ruder Boskovic Institute, Zagreb, 10002, Croatia
SOURCE: Enantiomer (2000), 5(3-4), 333-344
CODEN: EANTE2; ISSN: 1024-2430
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel chiral selectors were prepared by regioselective nucleophilic substitution of 2,4,5,6-tetrachlorobenzene-1,3-dicarbonitrile (TCBDC) at C(4) by (1R,2R)-trans-diaminocyclohexane, followed by acylation of the intermediate with carboxylic acids containing π-acid or π-basic unit. On substitution of the 2nd chlorine atom by the **spacer** 3-**aminopropyltriethoxysilane** (APTES), a 1:1 mixture of regioisomers of N-({[3,6-dichloro-2,4-dicyano-5-(4,4,4-triethoxy-4-silabutyl)-**amino**]phenyl} **amino**)cyclo-hexylcarboxamides and N-({[5,6-dichloro-2,4-dicyano-3-(4,4,4-triethoxy-4-silabutyl)-**amino**]phenyl}ami no)**cyclohexylcarboxamides** was obtained. Their covalent binding to Nucleosil 100-5 provided three new chiral stationary phases (I). NMR spectra of some model compds. (e.g. cyclohexylcarboxamide derivs.) and MM2 calcns. on other model compds. (cyclohexylcarboxamide aniline derivs.) revealed π-π interactions between persubstituted benzene ring and 2nd aromatic ring. The results of the evaluation of new CSPs in the separation of 23 test racemates by HPLC are reported. Ia (R = 3,5-dinitrophenyl) and Ib (R = 2-naphthyl) have lower conformational freedom than Ic (R = (S)-1-(6-methoxynaphth-2-yl)ethyl), allowing for better separation In particular, good results were obtained in the separation of some 1,4-benzodiazepines and open-chain aromatic amides by Ia and Ib.
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s cyclohex? (s) amino? (s) (linker or spacer)
L7 45 CYCLOHEX? (S) AMINO? (S) (LINKER OR SPACER)

=> d l7 ibib abs total

L7 ANSWER 1 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6374366 BABS
TITLE: A Molecular Oyster: A Neutral Anion Receptor Containing Two Cyclopeptide Subunits with a Remarkable Sulfate Affinity in Aqueous Solution
AUTHOR(S): Kubik, Stefan; Kirchner, R.; Nolting, D.; Seidel, J.
SOURCE: J.Amer.Chem.Soc. (2002), 124(43), 12752 - 12760
CODEN: JACSAT
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6374366 BABS
AB An artificial anion receptor is presented, in which two **cyclohexapeptide** subunits containing L-proline and 6-**aminopicolinic** acid subunits in an alternating sequence are connected via an adipinic acid **spacer**. This compound was devised to stabilize the 2:1 sandwich-type anion complexes that are observed when the two cyclopeptide moieties are not covalently connected and to obtain a 1:1 stoichiometry for these aggregates. Electrospray ionization mass

spectrometry and NMR spectroscopic investigations showed that the bridged bis(cyclopeptide) does indeed form defined 1:1 complexes with halides, sulfate, and nitrate. ROESY NMR spectroscopy and molecular modeling allowed a structural assignment of the sulfate complex in solution. The stabilities of various anion complexes were determined by means of NMR titrations and isothermal titration microcalorimetry in 50 percent water/methanol. Both methods gave essentially the same quantitative results, namely stability constants that varied in the range 105-10%2& M#-1& and decreased in the order SO4#2-& > I#-& > Br#-& > Cl#-& > NO3#-&. This order was rationalized in terms of the size of the anions with the larger anions forming the more stable complexes because they better fit into the cavity of the host. The ability of sulfate to form stronger hydrogen bonds to the NH groups of the receptor, in addition to its slightly larger ionic radius with respect to iodide, causes the higher stability of the sulfate complex. No significant effect of the countercation on complex stability was observed. Furthermore, complex stability is enthalpically as well as entropically favored. A comparison of the iodide and sulfate complex stabilities of the ditopic receptor with those of a cyclopeptide that forms 1:1 anion complexes in solution showed that the presence of a second binding site increases complex stability by a factor of 100-350.

L7 ANSWER 2 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN

ACCESSION NUMBER: 6372246 BABS
TITLE: New dsDNA Binding Unnatural Oligopeptides with Pyrimidine Selectivity
AUTHOR(S): Zhang, Zhenyu; Chaltin, Patrick; Aerschot, Arthur Van; Lacey, Jeff; Rozenski, Jef; Busson, Roger; Herdewijn, Piet
SOURCE: Bioorg.Med.Chem. (2002), 10(11), 3401 - 3414
CODEN: BMECEP
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English

AN 6372246 BABS

AB Solid phase peptide library screening followed by extension of a lead recognition element for binding to a dsDNA sequence (NF binding site of IL6) using solution phase screening, delivered a new DNA binding peptide, Ac-Arg-Ual-Sar-Chi-Chi-Tal-Arg-CONH2. In the present research, the contribution of the different **amino** acid side chains to the binding strength of the peptide to dsDNA was investigated using an ethidium bromide displacement test. Based on these results, the lead structure was optimized by deconvolution. Eight new unnatural **amino** acids were evaluated at two positions of the heptapeptide replacing the Ual-Sar fragment. The strongest dsDNA binding was observed using {[(3-chlorophenyl)**methyl**]amino}acetic acid (Cbg) and $b-**cyclohexyl**-l-alanine (Cha) respectively, at those two positions. A 10-fold increase in affinity compared to the Ual-Sar sequence was obtained. Further enhancement of dsDNA binding was obtained with hybrid molecules linking the newly developed peptide fragment to an acridine derivative with a flexible **spacer**. This resulted in ligands with affinities in the $mM range for the dsDNA target (K&d% of 2.1 x 10%-6& M). DNase I footprinting with the newly developed oligopeptide motifs showed the presence of a pronounced pyrimidine specificity, while conjugation to an intercalator seems to redirect the interaction to mixed sequences. This way, new unnatural oligopeptide motifs and hybrid molecules have been developed endowed with different sequence selectivities. The results demonstrate that the unnatural peptide library approach combined with subsequent modification of selected **amino** acid positions, is very suited for the discovery of novel sequence-specific dsDNA binding ligands.

L7 ANSWER 3 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN

ACCESSION NUMBER: 6362905 BABS
TITLE: Application of Piperazine-Derived Hydrazone Linkers for Alkylation of Solid-Phase Immobilized Ketones
AUTHOR(S): Lazny, Ryszard; Michalak, Michal
SOURCE: Syn.Lett. (2002), (11), 1931 - 1934
CODEN: SYNLES
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6362905 BABS
AB The preparation and application of three new solid supports with piperazine-derived hydrazine anchoring groups are described. The supports were used for immobilization of ketones. The ketones: **cyclohexanone**, 4-tert-butylcyclohexanone, 3-pentanone anf tropinone, which were boud to polymers in the form of their hydrazones, were deprotonated with LDA and alkylated with propyl iodide or benzyl bromide. The resulting alkylated products were cleaved off the solid support on treatment with trifluoric acid in dichloromethane. Linkers with 6- and 3-carbon atom spacers gave better results than the simple N-**aminopiperazine linker**.

L7 ANSWER 4 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6347914 BABS
TITLE: Synthesis of Deuterated Aminocaproyl Linkers
AUTHOR(S): Anastasiadis, Aphrodite; Separovic, Frances; White, Jonathan
SOURCE: Aust.J.Chem. (2001), 54(12), 747 - 750
CODEN: AJCHAS
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6347914 BABS
AB Gramicidin A (gA) analogues with a biotin attached to the C-terminus by an **aminocaproyl linker** are used as ion channels in the AMBRI(R) biosensor and are referred to as biotinylated gA. In order to examine the conformation and dynamics of the **aminocaproyl linker** by 2H solid-state nuclear magnetic resonance, the synthesis of deuterated **aminocaproic** acid is required. We report on the synthesis of (D10)-6-**aminocaproic** acid from commercially available perdeuterated **cyclohexanol** and its covalent attachment to the C-terminal group of gA to form gAX&D%XB.

L7 ANSWER 5 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6311732 BABS
TITLE: DISAL glycosyi donors for efficient glycosylations under acidic conditions: Application to solid-phase oligosaccharide synthesis
AUTHOR(S): Petersen, Lars; Jensen, Knud J.
SOURCE: J.Chem.Soc.Perkin Trans.1 (2001), (18), 2175 - 2182
CODEN: JCSPCE
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6311732 BABS
AB The use of DISAL (methyl dinitrosalicylate) glycosyl donors in efficient Lewis acid-promoted glycosylations is reported. N-Acetyl-D-glucosaminemonosaccharide acceptors are successfully glycosylated at O-6 or O-4 using benzyl- and benzoyl-protected DISAL donors in CH2Cl2 or nitromethane in the presence of LiClO4. The resultant disaccharides are isolated in yields ranging from 35 to 93 percent. Other Lewis acids such as FeCl3, TMSOTf, or BF3*Et2O also prove efficient for glycosylation of the secondary alcohol **cyclohexanol**. However, for the synthesis of disaccharides, the mild activation by LiClO4 gives higher yields. This

approach is extended to efficient solid-phase glycosylation of a D-glucosamine derivative anchored by the 2-**amino** group through a Backbone Amide **Linker** (BAL) to a polystyrene support.

L7 ANSWER 6 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6259042 BABS
TITLE: Amide catalysts with tetradentate ligands and the asymmetric transfer hydrogenation of carbonyl compounds
AUTHOR(S): Marson, Charles M.; Schwarz, Ido
SOURCE: Tetrahedron Lett. (2000), 41(46), 8999 - 9004
CODEN: TELEAY
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6259042 BABS
AB Amidic tetradentate catalysts comprising two trans-1,2-**cyclohexanediamine** units linked via a dicarbonyl **spacer** are shown to provide useful enantiomeric excesses in the asymmetric transfer hydrogenation from propan-2-ol to aromatic ketones. N-Benzylation of the terminal **amino** groups results, in several cases, in reversal of the absolute configuration of the major product.

L7 ANSWER 7 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6216450 BABS
TITLE: Potent Bivalent Thrombin Inhibitors: Replacement of the Scissile Peptide Bond at P&1%-P&1%' with Arginyl Ketomethylene Isosteres
AUTHOR(S): Steinmetzer, Torsten; Zhu, Bing Yan; Konishi, Yasuo
SOURCE: J.Med.Chem. (1999), 42(16), 3109 - 3115
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6216450 BABS
AB We have designed highly potent synthetic bivalent thrombin inhibitors, which consist of an active site blocking segment, a fibrinogen recognition exosite blocking segment, and a **linker** connecting these segments. The bivalent inhibitors bind to the active site and the fibrinogen recognition exosite simultaneously. As a result, the inhibitors showed much higher affinity for thrombin than the individual blocking segments. Various arginyl ketomethylene isosteres Arg$Q<CO-CH2-X>P'&1% were incorporated into the bivalent inhibitors as P&1%-P'&1% segment to eliminate the scissile bond. The P'&1% residue is a natural or unnatural **amino** acid; specifically, the incorporation of mercaptoacetic acid exhibited superiority in synthesis and affinity for thrombin. Inhibitor 16, (D-**cyclohexylalanine**)-Pro-Arg$Q<CO-CH2-S>Gly-(Gly)4-Asp-Tyr-Glu-Pro-Ile-Pro-Glu-Glu-Tyr-**cyclohexylalanine**-(D-Glu)-OH, showed the lowest K&i% value of 3.5+/-0.5x10%-13& M, which is comparable to that (K&i% = 2.3x10%-13& M) of recombinant hirudin. Consequently we successfully reduced the size of the inhibitor from ca. 7 kDa of recombinant hirudin to ca. 2 kDa without losing the affinity.

L7 ANSWER 8 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6069298 BABS
TITLE: Synthesis and Neuropeptide Y Y&1% Receptor Antagonistic Activity of N,N-Disubstituted $w-Guanidino- and $w-Aminoalkanoic Acid Amides
AUTHOR(S): Mueller, Manfred; Knieps, Sebastian; Gessele, Karin; Dove, Stefan; Bernhardt, Guenther; Buschauer, Armin
SOURCE: Arch.Pharm.(Weinheim Ger.) (1997), 330(11), 333-342
CODEN: ARPMAS
DOCUMENT TYPE: Journal

LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6069298 BABS
AB Potent arpromidine-type histamine H&2% receptor antagonists such as BU-E-76 (He 90481) were among the first non-peptides reported to display weak neuropeptide Y (NPY) Y&1% receptor antagonist activity.In search of new chemical leads for the development of more potent NPY antagonists, a series of N,N-disubstituted $w-guanidino and $w-**aminoalkanoic** acid amides were synthesized on the basis of structure-activity relationships and molecular modeling studies of arpromidine and related imidazolylpropylguanidines.In one group of compounds the imidazole ring was retained whereas in the second group it was replaced with a phenol group representing a putative mimic of Tyr%36& in NPY.Although the substitution patterns have not yet been optimized, the title compounds are NPY Y&1% antagonists in human erythroleukemia (HEL) cells (Ca2+ assay) achieving pK&B% values in the range of 6.3-6.6.For representative new substances tested in the isolated guinea pig right atrium histamine H&2% receptor agonism could not be found.In the N-(diphenylalkyl)amide series, compounds with a trimethylene chain were more active Y&1% antagonists than the ethylene homologs.Concerning the **spacer** in the $w-**amino** or $w-guanidinoalkanoyl portion, the best activity was found in compounds with a four- or five-membered alkyl chain or a 1,4-**cyclohexylene** group.Surprisingly, in contrast to the phenol series, in the imidazole series the compounds with a side chain **amino** group turned out to be considerably more potent than the corresponding strongly basic guanidines.Thus, the structure-activity relationships appear to be different for the diphenylalkylamide NPY antagonists with one or two basic groups.

L7 ANSWER 9 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6065230 BABS
TITLE: Design and Synthesis of Novel Imidazole-Substituted Dipeptide Amides as Potent and Selective Inhibitors of Candida albicans MyristoylCoA:Protein N-Myristoyltransferase and Identification of Related Tripeptide Inhibitors with Mechanism-Based Antifungal Activity
AUTHOR(S): Devadas, Balekudru; Freeman, Sandra K.; Zupec, Mark E.; Lu, Hwang-Fun; Nagarajan, Srinivasan R.; et al.
SOURCE: J.Med.Chem. (1997), 40(16), 2609-2625
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6065230 BABS
AB A new class of antifungal agents has been discovered which exert their activity by blockade of myristoylCoA:protein N-myristoyltransferase (NMT; EC 2.1.3.97).Genetic experiments have established that NMT is needed to maintain the viability of Candida albicans and Cryptococcus neoformans, the two principal causes of systemic fungal infections in immunocompromised humans.Beginning with a weak octapeptide inhibitor ALYASKLS-NH2 (2, K&i% = 15.3 +/- 6.4 $mM), a series of imidazole-substituted Ser-Lys dipeptide amides have been designed and synthesized as potent and selective inhibitors of Candida albicans NMT.The strategy that led to these inhibitors evolved from the identification of those functional groups in the high-affinity octapeptide substrate GLYASKLS-NH2 1a necessary for tight binding, truncation of the C-terminus, replacement of the four **amino** acids at the N-terminus by a **spacer** group, and substitution of the glycine **amino** group with an N-linked 2-methylimidazole moiety.Initial structure-activity studies led to the identification of 31 as a potent and selective peptidomimetic inhibitor with an IC50 of 56 nM and 250-fold selectivity versus human NMT. 2-Methylimidazole as the N-terminal amine replacement in

combination with a 4-substituted phenacetyl moiety imparts remarkable potency and selectivity to this novel class of inhibitors.The (S,S) stereochemistry of serine and lysine residues is critical for the inhibitory activity, since the (R,R) enantiomer 40 is 10%3&-fold less active than the (S,S) isomer 31.The inhibitory profile exhibited by this new class of NMT ligands is a function of the pK&a% of the imidazole substituent as illustrated by the benzimidazole analog 35 which is about 10-fold less potent than 31.The measured pK&a% (7.1 +/- 0.5) of 2-methylimidazole in 31 is comparable with the estimated pK&a% (ca. 8.0) of the glycyl residue in the high-affinity substrate 1a.Groups bulkier than methyl, such as ethyl, isopropyl, or iodo, at the imidazole 2-position have a detrimental effect on potency.Further refinement of 31 by grafting an $a-methyl group at the benzylic position adjacent to the serine residue led to 61 with an IC50 of 40 nM.Subsequent chiral chromatography of 61 culminated in the discovery of the most potent Candida NMT inhibitor 61a reported to date with an IC50 of 20 nM and 400-fold selectivity versus the human enzyme.Both 31 and 61a are competitive inhibitors of Candida NMT with respect to the octapeptide substrate GNAASARR-NH2 with K&i(app)% = 30 and 27 nM, respectively.The potency and selectivity displayed by these inhibitors are dependent upon the size and orientation of the $a-substituent.An $a-methyl group with the R configuration corresponding to the (S)-methyl-4-alanine in 2 confers maximum potency and selectivity.Structural modification of 31 and 61 by appending an (S)-carboxyl group $b to the **cyclohexyl** moiety provided the less potent tripeptide inhibitors 73a and 73b with an IC50 of 1.45 +/- 0.08 and 0.38 +/- 0.03 $mM, respectively.However, these tripeptides (73a and 73b) exhibited .....

L7 ANSWER 10 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 6006714 BABS
TITLE: Generation of Polyclonal Catalytic Antibodies Against Cocaine Using Transition State Analogs of Cocaine Conjugated to Diphtheria Toxoid
AUTHOR(S): Basmadjian, Garo P.; Singh, Satendra; Sastrodjojo, Budiono; Smith, Blaine T.; Avor, Kwasi S.; et al.
SOURCE: Chem.Pharm.Bull. (1995), 43(11), 1902-1911
CODEN: CPBTAL
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6006714 BABS
AB Six novel transition state analogs (TSAs) of cocaine (10-14 and 17) and one non-cocaine, p-**aminophenylphosphonyl** ester of **cyclohexanol** (19), were synthesized and characterized by 1H- and 13C-NMR and FAB-MS. (1R)-ecgonine methyl ester or **cyclohexanol** were subjected to phenylphosphonylation in the presence of dicyclohexyl carbodiimide (DCC) and 4-N,N-dimethyl **aminopyridine** (4-DMAP).TSA-IV (10), however, was synthesized from norcocaine which was protected with dibromoethane to yield 4 before acid hydrolysis, esterification and phenylphosphonylation were carried out.TSA-III (11) TSA-I (12) and (19), using various length **spacer** arms, were coupled with the immunogenic protein, diphtheria toxoid (DT).The TSAs coupled with DT were used to immunize mice and after appropriate boosts their sera were tested for the presence and titer of anti-TSA polyclonal antibodies using ELISA.Preliminary results show that the mice immunized with these TSAs produced high titers of polyclonal catalytic antibodies, except for (19), with the ability to hydrolyze the substrate (125)I-4'-iodococaine in an in vitro assay, even in the presence of noncatalytic anti-TSA antibodies.

L7 ANSWER 11 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 5971871 BABS
TITLE: Flexible 1-<(2-Aminoethoxy)alkyl>-3-ar(o)yl(thio)ureas

as Novel Acetylcholinesterase Inhibitors. Synthesis and Biochemical Evaluation
AUTHOR(S): Vidaluc, Jean-Louis; Calmel, Francis; Bigg, Denis C. H.; Carilla, Elisabeth; Briley, Mike
SOURCE: J.Med.Chem. (1995), 38(15), 2969-2973
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 5971871 BABS
AB A series of flexible 1-(2-**aminoethoxy**)-3-ar(o)yl(thio)ureas was synthesized and assessed for antiacetylcholinesterase activity.This series was designed in order to optimize the **spacer** length linking the two pharmacophoric moieties, i.e., the basic nitrogen and the ar(o)yl(thio)urea unit, and to test compounds with greater conformational flexibility.Thus, the replacement of the previously described **spacer**, 4-piperidinyethyl, by a linear ethoxyethyl chain gave compounds of slightly comparable potency, providing that they were correctly substituted.The results show that this new flexible **spacer** is compatible with high inhibitory activities.The optimal chain length corresponds to five methylene groups, allowing an efficient interaction between the two pharmacophoric units and the two reported hypothetical enzyme hydrophobic binding sites.Moreover, the initially optimized benzyl group, attached to the basic nitrogen, was found to be advantageously replaced by a **cyclohexyl** group, showing that an aromatic residue does not represent a prerequisite for activity.

L7 ANSWER 12 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 5954668 BABS
TITLE: A Cyclohexane Spacer for Phosphate Receptors
AUTHOR(S): Raposo, Cesar; Perez, Nieves; Almaraz, Marta; Mussons, Luisa; Caballero, Cruz; Moran, Joaquin R.
SOURCE: Tetrahedron Lett. (1995), 36(18), 3255-3258
CODEN: TELEAY
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 5954668 BABS
AB A **cyclohexane** tricarboxylic acid is shown to be a good **spacer** for phosphate guests.The combination of 8-**aminochromenone**-2-carboxamide groups with the **cyclohexane** **spacer** leads to a versatile receptor which sets six hydrogen bonds with either phosphonic acids or phosphates.Large association constants are obtained for this receptor in DMSO and methanol when tetraalkylammonium phosphates are used as guests.

L7 ANSWER 13 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 5856328 BABS
TITLE: Quantitation of Bioresmethrin, a Synthetic Pyrethroid Grain Protectant, by Enzyme Immunoassay
AUTHOR(S): Hill, Amanda S.; McAdam, David P.; Edward, Simone L.; Skerritt, John H.
SOURCE: J.Agric.Food Chem. (1993), 41(11), 2011-2018
CODEN: JAFCAU
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 5856328 BABS
AB An enzyme immunoassay was developed for the synthetic pyrethroid, bioresmethrin, by use of a novel approach for synthesis of the pyrethroid-protein hapten conjugate for antibody preparation.Bioresmethrin was hydrolyzed at the ester linkage, an following protection of the chrysanthemic acid group, the 2-methylprop-1-ene substituent was

oxidatively cleaved.The newly formed and unprotected acid group was reestrified to the other bioresmethrin hydrolysis product <<2-(phenylmethyl)-4-furyl>methanol>, and following substitution of the protecting group, the hapten was coupled to either protein for antibody production or peroxidase for use in the immunoassay.The most sensitive assay employed an antibody prepared to a derivative with a 4-carbon **spacer** arm between bioresmethrin and carrier protein, but used a bioresmethrin-enzyme reporter prepared using a 4-(**aminomethyl**) **cyclohexanecarboxylic** acid **spacer** arm (limit of detection 2 ppb in buffer, 50 ppb in whole wheat or barley grain).Good correlations between HPLC and ELISA determinations of bioresmethrin in whole or ground barley grain were obtained.The sensitivity of the assay was slightly lower in ground grain or fluor milling fractions due to interference from coextractives in methanol extracts.Apart from resmethrin, of which bioresmethrin is the 1R,3R-trans-isomer, the assay did not detect a variety of other pyrethroids in commercial use.

L7 ANSWER 14 OF 45 BABS COPYRIGHT 2004 BEILSTEIN MDL on STN
ACCESSION NUMBER: 5701768 BABS
TITLE: Functionalized Congeners of Adenosine: Preparation of Analogues with High Affinity for A&1%-Adenosine Receptors
AUTHOR(S): Jacobson, Kenneth A.; Kirk, Kenneth L.; Padgett, William L.; Daly, John W.
SOURCE: J.Med.Chem. (1985), 28(9), 1341-1346
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 5701768 BABS
AB A series of functionalized congeners of adenosine based on N%6&-phenyladenosine, a potent A&1%-adenosine receptor agonist, was synthesized.Derivatives of the various congeners should be useful as receptor and histochemical probes and for the preparation of radioligands and affinity columns or as targeted drugs.N%6&-<4-(Carboxymethyl)phenyl>adenosine served as the starting point for synthesis of the methyl ester, the methyl amide, the ethyl glycinate, and various substituted anilides.One of the latter, N%6&-<4-<<<4-(carbomethoxymethyl)anilino>carbonyl>methyl>phenyl>adenosine, served as the starting point for the synthesis of another series of congeners including the methyl amide, the hydrazide, and the **aminoethyl** amide.The terminal **amino** function of the last congener was acylated to provide further analogues.The various congeners were potent competitive antagonists of binding N%6&-<3H>**cyclohexyladenosine** to A&1%-adenosine receptors in rat cerebral cortical membranes.The affinity of the congener for the A&1% receptor was highly dependent on the nature of the **spacer** group and the terminal moiety with K&i% values ranging 1-100 nm.A biotinylated analogue had a K&i% value of 11 nM.A conjugate derived from the Bolton-Hunter reagent had a K&i% value of 4.5 nM.The most potent congener contained a terminal <(**aminoethyl**)**amino**>carbonyl function and had a K&i% value of less than 1 nM.

L7 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:937160 CAPLUS
TITLE: DNA Attachment Chemistry at the Flexible Silicone Elastomer Surface: Toward Disposable Microarrays
AUTHOR(S): Vaidya, Ashish A.; Norton, Michael L.
CORPORATE SOURCE: Department of Chemistry, Marshall University, Huntington, WV, 25755, USA
SOURCE: Langmuir ACS ASAP
CODEN: LANGD5; ISSN: 0743-7463
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English

AB This paper describes the preparation and surface characterization of maleimide-activated silicone elastomer (PDMSMCC) followed by covalent functionalization using thiol-terminated DNA sequences (primary oligo). The stability of this attachment chemical was demonstrated by the retention of the primary oligo through the process of hybridization with a labeled complementary DNA sequence. In these studies, the hybridized labeled DNA oligomers were detected using confocal fluorescence microscopy. We have employed a vapor deposition technique in which a plasma-treated silicone elastomer (PDMSOH) was exposed to vapors of 3-(**aminopropyl**)triethoxysilane (APTS) under vacuum, to yield the amine-functionalized silicone elastomer (PDMSNH2). PDMSNH2 was further coupled with a heterofunctional cross-**linker**, sulfosuccinimidyl-4-(N-maleimidomethyl)**cyclohexane**-1-carboxylate to obtain PDMSMCC. The surface functionalities of the elastomers were characterized using contact angle measurements and XPS. Surface-modified silicone elastomers appear to be promising substrates for use as substrates for disposable microarrays.

L7 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:574506 CAPLUS

DOCUMENT NUMBER: 141:277825

TITLE: Efficient Synthesis of Doxorubicin Melanotransferrin p97 Conjugates Through SMCC Linker

AUTHOR(S): Chen, Qingqi; Gabathuler, Reinhard

CORPORATE SOURCE: Biomarin Pharmaceutical Inc., Novato, CA, 94949, USA

SOURCE: Synthetic Communications (2004), 34(13), 2407-2414
CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Doxorubicin-succinimidyl 4-[N-maleimidomethyl]cyclohexane-1-carboxylate (SMCC), prepared by treating doxorubicin with SMCC, is treated with 2-mercaptoacetic acid to give doxorubicin-SMCC-sulfo-acetic acid (I). Treating with benzotriazol-1-yl-N,N,N',N-tetramethyluronium tetrafluoroborate (BTTU), the carboxy group of I is activated, and reacts efficiently with the amino group of melanotransferrin p97 to afford the expected doxorubicin-p97 conjugate, which is a potential agent capable to cross the blood-brain barrier to treat brain tumors.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:462897 CAPLUS

DOCUMENT NUMBER: 141:166887

TITLE: 4-(9-Fluorenylmethyloxycarbonyl)phenylhydrazine (FmPH): A New Chromophoric Reagent for Quantitative Monitoring of Solid-Phase Aldehydes

AUTHOR(S): Shannon, Simon K.; Barany, George

CORPORATE SOURCE: Department of Chemistry, University of Minnesota, Minneapolis, MN, 55455, USA

SOURCE: Journal of Organic Chemistry (2004), 69(14), 4586-4594
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A direct method for quantifying solid-phase aldehydes was developed, using a new reagent, 4-(9-fluorenylmethyloxycarbonyl)phenylhydrazine (FmPH). The FmPH reagent was synthesized in three steps (24% overall yield) from com. available p-hydrazinobenzoic acid. Resin-bound aldehydes reacted quant. with FmPH, in the presence of trimethylorthoformate (TMOF) as a dehydrating agent, to form a highly conjugated, immobilized FmPH-hydrazone. Next, mild treatment of the hydrazone with an excess of

piperidine-DMF (1:1) released the piperidine-dibenzofulvene adduct chromophore (ε301nm = 7800 M-1 cm-1) from the support. FmPH quantitation of aldehydes proved to be a straightforward, sensitive, and reproducible technique for monitoring resin-bound aldehydes [albeit insufficiently reactive to allow reliable quantification of ketones]. The FmPH aldehyde assay is applicable to a range of solid supports, as demonstrated specifically for poly(ethylene glycol)-polystyrene (PEG-PS), aminomethylpolystyrene (AMP), and cross-linked ethoxylate acrylate resin (CLEAR).

REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:291606 CAPLUS
DOCUMENT NUMBER: 140:329635
TITLE: Photosensitive resin composition neutralized by primary amino compound, spacer therefrom, and method of forming color filter
INVENTOR(S): Minamoto, Yoshizo
PATENT ASSIGNEE(S): Taiyo Ink Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004109752	A2	20040408	JP 2002-274632	20020920
PRIORITY APPLN. INFO.:			JP 2002-274632	20020920

AB The photosensitive resin composition comprises (a) a photosensitive resin obtained neutralizing a photosensitive resin which has ≥1 COOH and ≥2 photosensitive unsatd. double bonds with a primary amino compound, (b) a photosensitive (meth)acrylate, (c) a photopolymn. initiator, (d) water, and (e) an organic solvent. The photosensitive resin composition is used for a spacer and a color filter used in flat display panels.

L7 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:28301 CAPLUS
DOCUMENT NUMBER: 141:261022
TITLE: The synthesis of histidine containing cyclic peptides
AUTHOR(S): Baechle, Dirk; Kraft, Anke; Sewald, Norbert
CORPORATE SOURCE: Department of Chemistry, University of Bielefeld, Bielefeld, D-33501, Germany
SOURCE: Peptides 2002, Proceedings of the European Peptide Symposium, 27th, Sorrento, Italy, Aug. 31-Sept. 6, 2002 (2002), 28-29. Editor(s): Benedetti, Ettore; Pedone, Carlo. Edizioni Ziino: Castellammare di Stabia, Italy.
CODEN: 69EYXG; ISBN: 88-900948-1-8
DOCUMENT TYPE: Conference
LANGUAGE: English

AB A symposium report. The synthesis of histidine containing cyclic peptides via SPPS is quite troublesome due to the permanent risk of epimerization during coupling of activated histidine derivs. and the undesired side reactions occurring during the cyclization of these peptides in solution Due to the acid lability of Nτ-trityl protected histidine derivs., it is nearly impossible to get fully protected peptides without a larger amount of peptides being deprotected at histidine. Thus, a strategy was developed to generate the C-terminal unprotected linear peptide by acid-free cleavage to retain the totally Trt-protected peptide using prepared

ester-based carboxy **linker** ODmab [[[dimethyl(dioxo) **cyclohexylidene**]methylbutyl]**aminobenzyl** ester]. The application of this strategy to the synthesis of histidine-rich cyclic heptapeptide is discussed.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:906161 CAPLUS
DOCUMENT NUMBER: 139:386476
TITLE: Amino acid glycosides as hydrogel agents, and hydrogels containing them
INVENTOR(S): Hamachi, Itaru; Shinkai, Seiji; Kiyonaka, Shigeki
PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003327949	A2	20031119	JP 2002-136434	20020513
PRIORITY APPLN. INFO.:			JP 2002-136434	20020513

OTHER SOURCE(S): MARPAT 139:386476
AB Hydrogels, useful for biomaterials, contain **amino** acid glycosides SG-L-NHCH(CO2R)CH2(CH2)nCO2R [I; SG = structural part containing N-acetylated monosaccharide or disaccharide residue; n = 1, 2; R = **cyclohexylmethyl**, benzyl, cyclopentylmethyl, (CH2)5; L = **linker** having H-bonding functional group or atomic group]. I [SG = GalNAc-1-(CH2)2, L = NHCO(CH2)2CO, n = 1, R = cyclohexylmethyl], prepared in 5 steps (total yield 54%) from polymer-supported 2-azidoethyl-N-acetylgalactosamine, succinic anhydride, and di(cyclohexylmethyl) glutamate, formed a temperature-sensitive hydrogel, which was stable at room temperature, showed reversible thermal shrinkage, had volume phase transition temperature of 69°, and formed a 3-dimensional fibrous self-assembled structure in aqueous solution

L7 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:840546 CAPLUS
DOCUMENT NUMBER: 140:41619
TITLE: Direct Mass Spectrometric Monitoring of Solid Phase Organic Syntheses
AUTHOR(S): Gerdes, Jantje M.; Waldmann, Herbert
CORPORATE SOURCE: Max-Planck-Institut fuer Molekulare Physiologie, Abt. Chemische Biologie, Dortmund, D-44227, Germany
SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 814-820
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:41619
AB Compds. attached to resin beads using photolabile linkers such as phenacyl or nitroveratryl moieties can be cleaved and analyzed using soft laser desorption time-of-flight mass spectrometry (SLD-TOF-MS) without cleaving the compds. from the beads; solid-phase reactions can thus be monitored directly from the resin beads. A benzodiazepinedione chemical library is prepared from resin-bound amino acids, while biphenylcarboxylic acid esters are prepared by Suzuki coupling of arylboronic acids with resin-bound iodobenzoic acids; both are analyzed on beads using SLD-TOF-MS.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:906473 CAPLUS
DOCUMENT NUMBER: 138:16587
TITLE: Conjugates activated by cell surface proteases and therapeutic uses thereof
INVENTOR(S): Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 581 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002095007	A2	20021128	WO 2002-US16819	20020523

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-293267P P 20010523
OTHER SOURCE(S): MARPAT 138:16587
AB Conjugates, compns. and method for treatment, prevention, or amelioration of one or more symptoms of cell surface protease-related diseases, including MTSP-related, urokinase-type plasminogen activator (uPA) or endotheliase-related diseases, are provided. The conjugates for use in the compns. and methods are peptidic conjugates that contain therapeutic, including cytotoxic, agents.

L7 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:854618 CAPLUS
DOCUMENT NUMBER: 138:395595
TITLE: The interaction of cisplatin and analogs with DNA in reconstituted chromatin
AUTHOR(S): Galea, Anne M.; Murray, Vincent
CORPORATE SOURCE: School of Biochemistry and Molecular Genetics, University of New South Wales, Sydney, 2052, Australia
SOURCE: Biochimica et Biophysica Acta (2002), 1579(2-3), 142-152
CODEN: BBACAQ; ISSN: 0006-3002
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The influence of chromatin structure on cisplatin-induced DNA damage was investigated in a reconstituted nucleosome system. Nucleosomes from chicken erythrocyte nuclei were reconstituted on the somatic 5S rRNA gene from Xenopus borealis by using the octamer transfer method of reconstitution. Footprinting techniques, utilizing bleomycin and DNase I as the damaging agents, were employed to establish the precise location of positioned nucleosomes with respect to the DNA sequence. The reconstituted nucleosomal DNA was treated with cisplatin, and drug-induced DNA adduct formation was quant. analyzed with a polymerase stop assay

using Taq DNA polymerase. A densitometric comparison of the relative damage band intensities between purified and reconstituted DNA revealed regions of relative protection corresponding to the sites of the positioned nucleosome cores. This indicated that the preferred site of cisplatin binding to DNA was in the linker region of the nucleosome. Statistical anal. showed significant protection from cisplatin damage to DNA in the core region of the nucleosome. Three cisplatin analogs were also investigated in this reconstituted nucleosome system. These analogs, carboplatin, cis-dichlorobis(**cyclohexylamine**)platinum(II) (cis-[PtCl2(C6H11NH2)2]) and dichloro-(N-[3-[(2-**aminoethyl**) **amino**]propyl]acridine-4-carboxamide)platinum(II) (ac-PtenCl2(n3)), also targeted the **linker** region of the nucleosome. The latter DNA-targeted acridine-Pt complex gave rise to the most predominant footprints of all the Pt compds. tested.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:760619 CAPLUS

DOCUMENT NUMBER: 138:4805

TITLE: A Molecular Oyster: A Neutral Anion Receptor Containing Two Cyclopeptide Subunits with a Remarkable Sulfate Affinity in Aqueous Solution

AUTHOR(S): Kubik, Stefan; Kirchner, R.; Nolting, D.; Seidel, J.

CORPORATE SOURCE: Institut fuer Organische Chemie und Makromolekulare Chemie, Heinrich-Heine-Universitaet, Duesseldorf, D-40225, Germany

SOURCE: Journal of the American Chemical Society (2002), 124(43), 12752-12760
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

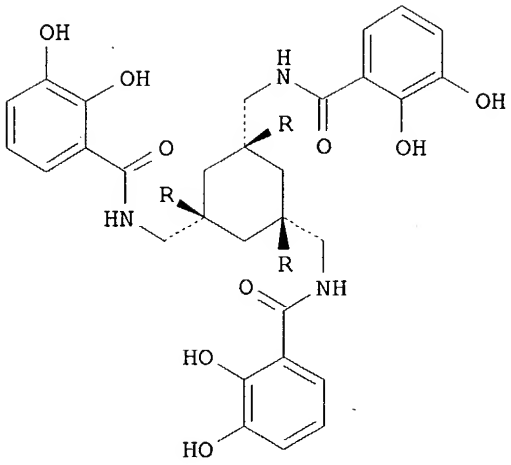
OTHER SOURCE(S): CASREACT 138:4805

AB An artificial anion receptor is presented, in which two **cyclohexapeptide** subunits containing L-proline and 6-**aminopicolinic** acid subunits in an alternating sequence are connected via an adipinic acid **spacer**. This compound was devised to stabilize the 2:1 sandwich-type anion complexes that are observed when the two cyclohexapeptide moieties are not covalently connected and to obtain a 1:1 stoichiometry for these aggregates. Electrospray ionization mass spectrometry and NMR spectroscopic investigations showed that the bridged bis(cyclohexapeptide) does indeed form defined 1:1 complexes with halides, sulfate, and nitrate. ROESY NMR spectroscopy and mol. modeling allowed a structural assignment of the sulfate complex in solution The stabilities of various anion complexes were determined by means of NMR titrns. and isothermal titration microcalorimetry in 50% water/methanol. Both methods gave essentially the same quant. results, namely stability consts. that varied in the range 105-102 M-1 and decreased in the order SO42- > I- > Br- > Cl- > NO3-. This order was rationalized in terms of the size of the anions with the larger anions forming the more stable complexes because they better fit into the cavity of the host. The ability of sulfate to form stronger hydrogen bonds to the NH groups of the receptor, in addition to its slightly larger ionic radius with respect to iodide, causes the higher stability of the sulfate complex. No significant effect of the countercation on complex stability was observed Furthermore, complex stability is enthalpically as well as entropically favored. A comparison of the iodide and sulfate complex stabilities of the ditopic receptor with those of a cyclohexapeptide that forms 1:1 anion complexes in solution showed that the presence of a second binding site increases complex stability by a factor of 100-350.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:393163 CAPLUS
DOCUMENT NUMBER: 137:247899
TITLE: Synthesis of deuterated aminocaproyl linkers
AUTHOR(S): Anastasiadis, Aphrodite; Separovic, Frances; White, Jonathan
CORPORATE SOURCE: School of Chemistry, University of Melbourne, 3010, Australia
SOURCE: Australian Journal of Chemistry (2001), 54(12), 747-750
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:247899
AB Gramicidin A (gA) analogs with a biotin attached to the C-terminus by an aminocaproyl linker are used as ion channels in the AMBRI biosensor and are referred to as biotinylated gA. In order to examine the conformation and dynamics of the aminocaproyl linker by 2H solid-state NMR, the synthesis of deuterated aminocaproic acid is required. We report on the synthesis of (D10)-6-aminocaproic acid from com. available perdeuterated cyclohexanol and its covalent attachment to the C-terminal group of gA to form gAXDXB.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:29963 CAPLUS
DOCUMENT NUMBER: 136:232066
TITLE: Enterobactin analogues prepared by cross-linking catechol derivative with cis,cis-1,3,5-tris(aminomethyl)cyclohexane
AUTHOR(S): Ryu, Jae Chun; Shin, Hyo Nim; Kim, Dong Hee; Lee, Sang Hee
CORPORATE SOURCE: Department of Chemistry, Kunsan National University, Kunsan, 573-701, S. Korea
SOURCE: Bulletin of the Korean Chemical Society (2001), 22(12), 1293-1294
CODEN: BKCSDE; ISSN: 0253-2964
PUBLISHER: Korean Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:232066
GI



I R=H
II R=Me

AB Enterobactin (Ent) analogs (I and II) were synthesized by replacing the benzene ring in MECAM with cyclohexane ring from cis,cis-1,3,5-tris(aminomethyl)cyclohexane. MECAM was used as a reference to determine the affinity (Kf) values of the analogs. The analogs and MECAM were subjected to the competition expts. against EDTA (Kf≈1025) for Fe(III). Both ligands of the analogs had higher affinities for Fe(III) than MECAM. The competition expts. revealed that I-Fe was slightly more stable than II-Fe due to the 1,3-diaxial steric strain caused by the Me groups in II. No pos. effect was observed from 1,3,5-substituents of the cyclohexane of II. The cis,cis-1,3,5-tris(**aminomethyl**)**cyclohexane** is a good **spacer** for Ent analogs, considering the high stability of the I-Fe complex and easy synthesis of this compound

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:507675 CAPLUS
DOCUMENT NUMBER: 135:77102
TITLE: Preparation of carbazole amino acid derivatives as secretory phospholipase A2 (sPLA2) inhibitors
INVENTOR(S): Lin, Ho-Shen; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049662	A2	20010712	WO 2001-US10850	20010105
WO 2001049662	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248769	A2	20021016	EP 2001-918984	20010105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003096854	A1	20030522	US 2002-168152		20020612
US 2004204473	A1	20041014	US 2004-830380		20040422
PRIORITY APPLN. INFO.:			US 2000-175028P	P	20000107
			WO 2001-US10850	W	20010105
			US 2002-168152	A3	20020612

OTHER SOURCE(S): MARPAT 135:77102

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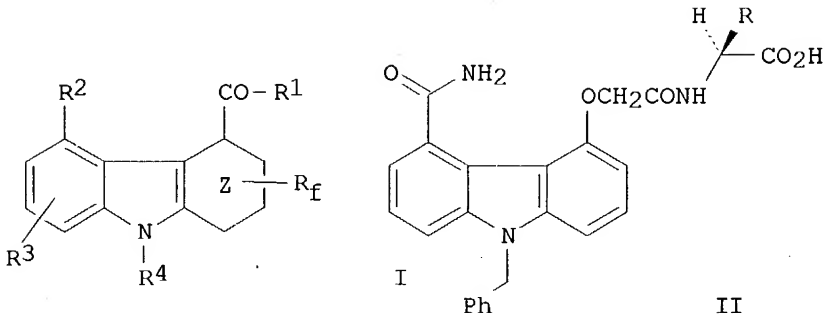


AB Carbazole **amino** acid derivs. I [Z indicates a **cyclohexenyl** or Ph ring; R is a non-interfering substituent and f = 1-3; R1 is NHNH2, NH2, or CONH2; R2 is -O(CH2)tR5, where R5 is a carbamoyl group or -(Lh)-(acyl **amino** acid) (Lh is a **linker** of length 1-7) and t = 1-5; R3 is a non-interfering substituent or a carbocyclic or heterocyclic radical which may be substituted with non-interfering substituents; R4 is (a) (C5-C20)-alkyl, -alkenyl, or -alkynyl or a carbocyclic or heterocyclic radical, which may be substituted or (b) -(L)-R80, where (L)- is a divalent linking group of 1 to 12 atoms selected from carbon, hydrogen, oxygen, nitrogen, and sulfur (with provisos) and R80 is a group selected from (a)] or a pharmaceutically acceptable racemate, solvate, tautomer, optical isomer, prodrug or salt were prepared for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, carbazole amino acids II (R is an amino acid side chain) were prepared via coupling of amino acid Me esters and saponification and showed IC50 = 16.1-324 nM for inhibition of sPLA2.

L7 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2000:849598 CAPLUS
DOCUMENT NUMBER:	134:172478
TITLE:	Novel chiral stationary phases comprising 2,4-(or 2,6)-diamino-5,6-(or 2,5)-dichlorobenzene-1,3-dicarbonitrile and 1-acyl (1R,2R)-diaminocyclohexane
AUTHOR(S):	Kontrec, Darko; Vinkovic, Vladimir; Lesac, Andreja; Sunjic, Vitomir; Aced, Ahmed
CORPORATE SOURCE:	Ruder Boskovic Institute, Zagreb, 10002, Croatia
SOURCE:	Enantiomer (2000), 5(3-4), 333-344 CODEN: EANTE2; ISSN: 1024-2430
PUBLISHER:	Gordon & Breach Science Publishers
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel chiral selectors were prepared by regioselective nucleophilic substitution of 2,4,5,6-tetrachlorobenzene-1,3-dicarbonitrile (TCBDC) at C(4) by (1R,2R)-trans-diaminocyclohexane, followed by acylation of the intermediate with carboxylic acids containing π-acid or π-basic unit. On substitution of the 2nd chlorine atom by the **spacer** 3-**aminopropyltriethoxysilane** (APTES), a 1:1 mixture of regioisomers of N-({[3,6-dichloro-2,4-dicyano-5-(4,4,4-triethoxy-4-silabutyl)-**amino**]phenyl} **amino**)cyclo-hexylcarboxamides and N-({[5,6-dichloro-2,4-dicyano-3-(4,4,4-triethoxy-4-silabutyl)-**amino**]phenyl}ami no)**cyclohexylcarboxamides** was obtained. Their covalent binding to Nucleosil 100-5 provided three new chiral stationary phases (I). NMR spectra of some model compds. (e.g. cyclohexylcarboxamide derivs.) and MM2 calcns. on other model compds. (cyclohexylcarboxamide aniline derivs.) revealed π-π interactions between persubstituted benzene ring and 2nd aromatic ring. The results of the evaluation of new CSPs in the separation of 23 test racemates by HPLC are reported. Ia (R = 3,5-dinitrophenyl) and Ib (R = 2-naphthyl) have lower conformational freedom than Ic (R = (S)-1-(6-methoxynaphth-2-yl)ethyl), allowing for better separation In particular, good results were obtained in the separation of some 1,4-benzodiazepines and open-chain aromatic amides by Ia and Ib.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:382266 CAPLUS
DOCUMENT NUMBER: 133:204998
TITLE: Tris(3-mercaptopropyl)-N-glycylaminomethane as a New Linker to Bridge Antibody with Metal Particles for Biological Cell Separations
AUTHOR(S): Siiman, Olavi; Burshteyn, Alexander; Maples, John A.; Whitesell, James K.
CORPORATE SOURCE: Advanced Technology, Beckman Coulter Inc., Miami, FL, 33196-2500, USA
SOURCE: Bioconjugate Chemistry (2000), 11(4), 549-556
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Conjugates of nickel beads with CD8 and anti-red blood cell KC16 antibody were prepared by using the **aminotrithiolate** "spider" ligand, tris(3-mercaptopropyl)-N-glycylaminomethane, in its new function as a **linker** between the surface of nickel beads and antibody via activation of spider ligand attached to nickel beads with the common, heterobifunctional cross-**linker**, sulfosuccinimidyl 4-(N-maleimidomethyl)**cyclohexane**-1-carboxylate (sulfo-SMCC). Raw nickel beads were cleaned by either mild sonication in a bath or by stronger probe sonication to remove surface nickel oxide layers, before attachment of the spider ligand. Scanning electron micrographs of the nickel beads before and after probe sonication showed a marked change from a corrugated to a smooth bead surface. Analyses of the supernatants of conjugation mixts. for antibody gave surface densities of 2.5-5.2 mg/m2 for CD8 and 0.6-12 mg/m2 for KC16 antibody runs. The antibody-spider-nickel bead conjugates were used in magnetic bead depletions of targeted CD8+ lymphocytes or red blood cells (rbcs) in whole blood of normal donors. For CD8 cell depletions, the undepleted controls and supernatants of depleted samples were analyzed for CD8/CD4 cell populations by flow cytometry with appropriate fluorescent antibody markers. Enumeration of red blood cells, white blood cells (wbcs), and platelets (plts) in

undepleted controls and supernatants of depleted samples were carried out on appropriate hematol. counters. Whole blood titer results with various lots of either CD8-spider-nickel or KC16-spider-nickel bead conjugates showed varying degrees of depletion ability as indicated by bead-to-cell ratios of 2-32 for CD8 beads and by rbc-to-bead ratios of 1.2-10 for KC16 beads. Moreover, varying degrees of specificity of CD8 beads for CD8+ cells over CD4+ cells and of KC16 beads for rbcs over white blood cells and platelets were observed from the normalized nontargeted cell population figures in undepleted controls vs. supernatants of depleted samples.

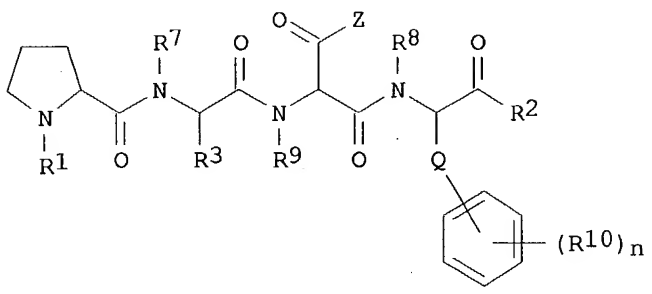
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:53684 CAPLUS
DOCUMENT NUMBER: 132:108303
TITLE: Preparation of matrix metalloproteinase inhibitors containing aminomalonic acid derivatives and peptide backbone-modified derivatives
INVENTOR(S): Tschesche, Harald; Krumme, Dirk
PATENT ASSIGNEE(S): Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000002904	A1	20000120	WO 1999-EP4826		19990708
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9950346	A1	20000201	AU 1999-50346		19990708
EP 1095057	A1	20010502	EP 1999-934642		19990708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002520333	T2	20020709	JP 2000-559133		19990708
PRIORITY APPLN. INFO.:			EP 1998-112652	A	19980708
			WO 1999-EP4826	W	19990708

OTHER SOURCE(S): MARPAT 132:108303
GI



I

AB Peptides containing the **aminomalonic** acid (Ama) moiety, I [R1 represents an N-protecting group, alkanoyl, arylmethyl, a natural **amino** acid, alkyl, aryl, H, or an optionally **spacer** linked peptide, glycoprotein, etc.; R2 = D- or L-PhCHMeNH, dialkylamino, alkylamino, arylamino, a natural **amino** acid, R4, etc.; R3 = alkyl, a side chain of a natural **amino** acid, or R4; R7, R8, R9 = H, alkyl, aryl, OH, alkanoyl, alkoxy, cyclopropyl, cyclopentyl, **cyclohexyl**, a 5- or 6-membered aromatic or aliphatic N-heterocyclic ring, etc.; (R10)n with n = 0-5 is defined by R2, R4 or -(CH2)mR4, with m = 0-6; R4 = H, alkyl, aryl, OH, alkoxy, arylmethoxy, aryloxy, aroylamino, etc.; Z = OH, alkoxy, NHOH, NMeOH, NHOMe, other NHO-lower alkyl; Q = (CH2)m, O(CH2)m, CO(CH2)m, (CH2)m-P, O-(CH2)m-P (with m = 0-6, P = cyclopropyl, cyclopentyl, **cyclohexyl**, aryl, heterocyclyl)], were prepared as matrix metalloproteinase inhibitors. Their inhibitory properties towards the activated form of native human gelatinase B (MMP-9) and the catalytic domain of neutrophil collagenase (cdMMP-8) were determined The most effective inhibitor synthesized, Boc-Pro-Ala-Ama(NHOH)-Tyr(Bzl)-NHCHMePh-(S) (Boc = tert-butoxycarbonyl, Bzl = benzyl), exhibits Ki values of 2x10-6 M (cdMMP-8) and 5x10-9 M (MMP-9), thus attaining interesting discrimination between the tested metalloproteinases.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:612066 CAPLUS
DOCUMENT NUMBER: 129:239162
TITLE: Polydentate imines and their metal complexes
INVENTOR(S): Schroder, Martin; Doble, Daniel Martin John
PATENT ASSIGNEE(S): Nycomed Amersham Plc, UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9839288	A1	19980911	WO 1998-GB678	19980306
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9865069	A1	19980922	AU 1998-65069	19980306
EP 1015419	A1	20000705	EP 1998-910837	19980306
EP 1015419	B1	20030102		
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE, FI				
JP 2001514624	T2	20010911	JP 1998-538282	19980306
ES 2190067	T3	20030716	ES 1998-910837	19980306
NO 9904265	A	19991105	NO 1999-4265	19990902
US 6153775	A	20001128	US 1999-380698	19991227
PRIORITY APPLN. INFO.:			EP 1997-301548 A	19970307
			WO 1998-GB678 A	19980306

OTHER SOURCE(S): MARPAT 129:239162

AB Novel neutral, water soluble metal complexes, particularly those containing lanthanide metals, Y, In or Ga, processes for their preparation, ligands involved in their preparation, pharmaceutical formulations containing them, and their use in diagnostic methods are disclosed. The compds. are represented by the formula Y1-L1-A(-L2-Y2)(-L3-Y3) where: A is N, CR1, P,

P:O, cis,cis,cis-1,3,5-trisubstituted-**cyclohexane** or an N,N',N''-trisubstituted-triaza 9-14 membered macrocyclic ring; L1, L2, L3 are **linker** groups which are independently chosen from C1-4 alkylene, C4-6 cycloalkylene or C4-6 o-arylene; Y1, Y2, Y3 are independently chosen from -NH2, -B(:O)OZ, -N:CR-B(:O)OZ, -NR-CR2-B(:O)OZ, -N[CR2-B(:O)Q]2 and -O-CR2-B(:O)OZ, where B = C or PR2, each Q is independently -OZ or -NR2 and Z is H or a counterion; each R and R1 group is independently chosen from H, C1-5 alkyl, C1-5 alkoxyalkyl, C1-5 hydroxyalkyl, C1-5 **aminoalkyl**, C5-10 aryl or C1-6 fluoroalkyl; R2 is OH, C1-6 alkyl, C1-6 alkoxyalkyl, C1-6 fluoroalkyl, C1-10 alkoxy or C5-10 aryl; with the proviso that at least one of Y1, Y2, and Y3 is -N:CR-B(:O)OZ. Metal complexes of the ligand are also claimed, and may be charged or neutral (non-ionic). When the metal is paramagnetic or radioactive, the metal complex may be useful for in vivo diagnostic imaging as MRI contrast agents and radiopharmaceuticals, resp. The metal complexes may also be useful as x-ray contrast agents. The metal complexes undergo more rapid hydrolysis at lower pH (e.g., pH 4-5.5) compared to neutral or alkaline conditions; thus, the complexes may be useful for the selective delivery of metal ions in biol. systems to regions of lower pH. Examples demonstrate the complexes are water soluble, can penetrate liposomes, and the amount of metal trapped within the liposomes increases as pH is lowered.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:769391 CAPLUS

DOCUMENT NUMBER: 128:44251

TITLE: Synthesis and neuropeptide Y Y1 receptor antagonistic activity of N,N-disubstituted ω-guanidino- and ω-aminoalkanoic acid amides

AUTHOR(S): Mueller, Manfred; Knieps, Sebastian; Gessele, Karin; Dove, Stefan; Bernhardt, Guenther; Buschauer, Armin

CORPORATE SOURCE: Institute Pharmacy, University Regensburg, Regensburg, D-93040, Germany

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1997), 330(11), 333-342
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Potent arpromidine-type histamine H2 receptor agonists such as BU-E-76 (He 90481) were among the 1st non-peptides reported to display weak neuropeptide Y (NPY) Y1 receptor antagonist activity. In search of new chemical leads for the development of more potent NPY antagonists, a series of N,N-disubstituted ω-guanidino and ω-aminoalkanoic acid amides were synthesized on the basis of structure-activity relationships and mol. modeling studies of arpromidine and related imidazolylpropylguanidines. In 1 group of compds. the imidazole ring was retained whereas in the 2nd group it was replaced with a phenol group representing a putative mimic of Tyr36 in NPY. Although the substitution patterns were not yet optimized, the title compds. are NPY Y1 antagonists in human erythroleukemia (HEL) cells (Ca2+ assay) achieving pKB values of 6.3-6.6. For representative new substances tested in the isolated guinea pig right atrium histamine H2 receptor agonism could not be found. In the N-(diphenylalkyl)amide series, compds. with a trimethylene chain were more active Y1 antagonists than the ethylene homologs. Concerning the **spacer** in the ω- **amino** or ω-guanidinoalkanoyl portion, the best activity was found in compds. with a 4- or 5-membered alkyl chain or a 1,4-**cyclohexylene** group. In contrast to the phenol series, in the imidazole series the compds. with a side chain amino group was considerably more potent than the corresponding strongly basic guanidines. Thus, the structure-activity relationships appear to be

different for the diphenylalkylamide NPY antagonists with 1 or 2 basic groups.

L7 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:661328 CAPLUS
DOCUMENT NUMBER: 128:3335
TITLE: Solid phase synthesis of 2-aminobutadienes using a piperazine linker
AUTHOR(S): Hird, Nicholas W.; Irie, Kazuyuki; Nagai, Katsunori
CORPORATE SOURCE: New Frontiers Science Park, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AD, UK
SOURCE: Tetrahedron Letters (1997), 38(40), 7111-7114
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:3335
AB A series of resin-bound 4-substituted-2-aminobutadienes RCH:CHCR1:CH2 (R = Ph, 4-MeOC6H4, 4-O2NC6H4, 4-FC6H4, 2-furyl, cyclohexyl, Me3C, etc.; R1 = piperazino) were prepared via Wittig reaction of polymer supported 2-piperazino-1-propen-1-yltriphenylphosphonium bromide with RCHO. The use of piperazine provides a readily cleavable enamine linker for attachment of ketones that is compatible with anion chemical
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

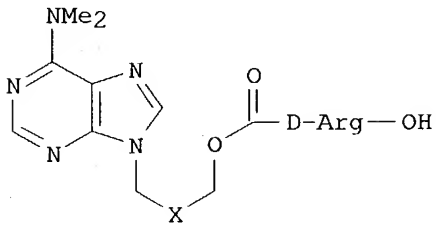
L7 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:552134 CAPLUS
DOCUMENT NUMBER: 127:204462
TITLE: Pan-DR binding peptide for induction of immune response against desired determinants
INVENTOR(S): Alexander, Jeffery L.; Defrees, Shawn; Sette, Alessandro
PATENT ASSIGNEE(S): Cytel Corp., USA; Alexander, Jeffery L.; Defrees, Shawn; Sette, Alessandro
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726784	A1	19970731	WO 1997-US1041	19970123
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242878	AA	19970731	CA 1997-2242878	19970123
AU 9715827	A1	19970820	AU 1997-15827	19970123
EP 876398	A1	19981111	EP 1997-902074	19970123
EP 876398	B1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1214051	A	19990414	CN 1997-193221	19970123
BR 9710404	A	19990817	BR 1997-10404	19970123
JP 2000504328	T2	20000411	JP 1997-526979	19970123
AT 220687	E	20020815	AT 1997-902074	19970123

ES 2177927 T3 20021216 ES 1997-902074 19970123
PRIORITY APPLN. INFO.: US 1996-10510P P 19960124
WO 1997-US1041 W 19970123

AB The present invention provides compns. and methods comprising Pan-DR binding oligopeptide and a nonproteinaceous antigen for inducing immune response in patients. The nonproteinaceous antigen is a polysaccharide from virus, bacterium, fungus, parasite, or cancer cell. D-Ala-Lys-**cyclohexylalanine**-Val-Ala-Ala-Trp-Thr-Leu-Lys-Ala-Ala-D-Ala was linked to **spacer** mol. **aminocaproic** acid and cysteine, and conjugated sep. with 2-bromoethyl(α-D-galactopyranosyl)-(1→4)-O-β-D-galactopyranoside, bromocaproyl lacto-N-fucopentaose II, and bromocaproyl dodecasaccharide. The immunogenicity of these conjugates were tested.

L7 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:526712 CAPLUS
DOCUMENT NUMBER: 127:191025
TITLE: Synthesis and Activity of 6-Substituted Purine Linker Amino Acid Immunostimulants
AUTHOR(S): Zacharie, Boulos; Gagnon, Lyne; Attardo, Giorgio; Connolly, Timothy P.; St. Denis, Yves; Penney, Christopher L.
CORPORATE SOURCE: Department of Medicinal Chemistry, BioChem Therapeutic Inc., Laval, QC, H7V 4A7, Can.
SOURCE: Journal of Medicinal Chemistry (1997), 40(18), 2883-2894
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of 6-substituted purinyl alkoxycarbonyl amino acids, e.g. I (X = divalent linker group) were synthesized and evaluated for their ability to stimulate cytotoxic T lymphocytes (CTLs) and the mixed lymphocyte reaction (MLR). A few of these compds., in particular I [X = (CH2)3] (BCH-1393), displayed an in vitro stimulation of CTLs comparable to interleukin 2 (IL 2). BCH-1393 increased the CTL response between 10-9 M and 10-5 M. Further, this potent in vitro activity was reflected as a significant increase in CTL cell number in vivo. However, immunophenotyping of some of the other equipotent compds. did not reveal a parallel relative increase in CTLs in vivo. It was difficult to formulate a rigorous structure-activity relationship based on in vitro CTL activity. Nevertheless, the activity was dependent upon the nature of the 6-substituent on the purine, the type and stereochem. of the amino acid, and the distance and spatial freedom between the purine and amino acid as defined by the length and rigidity of the linker. These compds. were generally nontoxic, as exemplified by BCH-1393. BCH-1393 is a promising immunostimulant which may be targeted for those disease states which require an increased CTL or TH1 type response.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:483492 CAPLUS
DOCUMENT NUMBER: 127:140550
TITLE: Ligands to enhance cellular uptake of biomolecules
INVENTOR(S): Ts'o, Paul O. P.; Hangeland, Jon J.; Lee, Yuan C.
PATENT ASSIGNEE(S): Johns-Hopkins University, USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9720563	A1	19970612	WO 1996-IB1442	19961122
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238379	AA	19970612	CA 1996-2238379	19961122
AU 9710393	A1	19970627	AU 1997-10393	19961122
EP 862439	A1	19980909	EP 1996-941146	19961122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1211923	A	19990324	CN 1996-199495	19961122
CN 1120707	B	20030910		
US 5994517	A	19991130	US 1996-755062	19961122
JP 2000501414	T2	20000208	JP 1997-521121	19961122
TW 520293	B	20030211	TW 1996-85114401	19961122
US 2003119724	A1	20030626	US 2001-888164	20010622
WO 2003067209	A2	20030814	WO 2002-US19908	20020621
WO 2003067209	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1409548	A2	20040421	EP 2002-805692	20020621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:		
US 1995-7480P	P	19951122
US 1996-755062	A2	19961122
WO 1996-IB1442	W	19961122
US 1999-282455	B1	19990331
US 2001-888164	A	20010622
WO 2002-US19908	W	20020621

AB Oligodeoxynucleoside methylphosphonate neoglycopeptide conjugates and related compds. are provided for tissue-specific delivery of biol. stable, nonionic oligodeoxynucleoside analogs into cells by receptor-mediated endocytosis. The conjugates are of the form ALP (A = ligand, e.g. a neoglycopeptide, which binds specifically to tissue-specific cell surface

receptors for prodrug targeting; L = bifunctional linker; P = biol. stable prodrug, especially an oligonucleoside with internucleotide linkages resistant to enzymic hydrolysis or biodegrdn., which is released and activated by hydrolysis or reduction of specific biochem. linkages). The internucleotide linkages are especially phosphorothioate and/or methylphosphonate linkages. Antisense oligonucleoside conjugates may be used to inhibit synthesis of specific proteins. Thus, a triantennary N-acetylgalactosaminosylhexylamin o Tyr-L-Glu-δ-Gln neoglycopeptide was coupled via a 4-(N-methylmaleimido)**cyclohexanecarboxylate linker** and 2-**aminoethanethiol** with 32P-labeled methylphosphonate-linked thymidine heptanucleotide capped with 2-O-methyluridylic acid 2-**aminoethylamide**. This conjugate, when injected i.v. into mice, became associated principally with the liver (52% of the initial dose after 15 min); this association depended entirely on the presence of N-acetylgalactosamine residues in the mol.

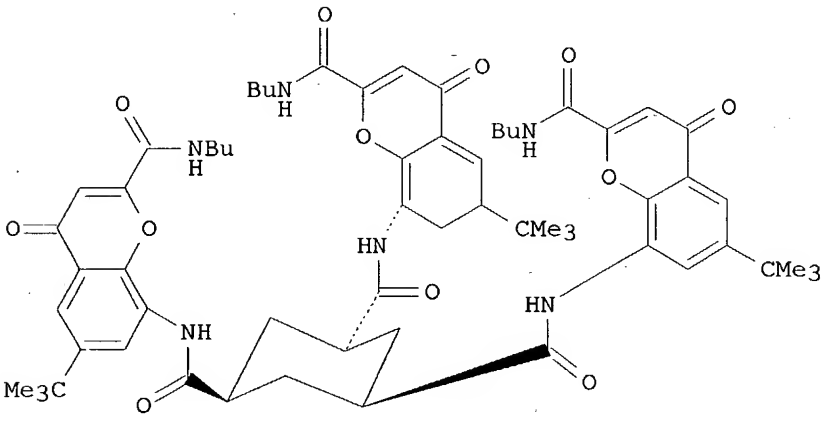
L7 ANSWER 37 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:28289 CAPLUS
DOCUMENT NUMBER: 124:49968
TITLE: Extended Length Heterobifunctional Coupling Agents for Protein Conjugations
AUTHOR(S): Bieniarz, Christopher; Husain, Mazhar; Barnes, Grady; King, Carol A.; Welch, Christopher J.
CORPORATE SOURCE: Diagnostics Division, Abbott Laboratories, North Chicago, IL, 60064, USA
SOURCE: Bioconjugate Chemistry (1996), 7(1), 88-95
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of extended length heterobifunctional coupling agents is described. The successive **aminocaproic** acid homologation of succinimidyl 4-(N-maleimidomethyl)**cyclohexane**-1-carboxylate, a known 9-atom long maleimide active ester **linker**, yielded 16-, 23-, and 30-atom long maleimide active ester homologues. The performance study of these coupling agents in automated microparticle enzyme immunoassays showed that, in the α fetoprotein assay, in which the linkers were employed in the construction of the alkaline phosphatase-antibody conjugates, the signal increased 64% when the length of the linker was incremented from 9 atoms to 23 atoms and 82% of the 30-atom long linker as compared with the 9-atom homolog. Similar improvements were observed in the performance of carbohydrate antigen, marker of ovarian cancer (CA-125), immunoassay where the linkers were used for conjugation of the capture antibody anti-CA-125 to the microparticle. Thus, a 300% signal improvement resulted when a 30-atom linker was used instead of the 9-atom homolog. The observed differences in the performance of the conjugates are interpreted as resulting from improved antibody binding and lowering of the steric hindrance of the complementarity-determined region of the antibody when longer coupling agents were used.

L7 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:794778 CAPLUS
DOCUMENT NUMBER: 124:29175
TITLE: Tris(2-aminoethyl)amine, a suitable spacer for phosphate and sulfate receptors
AUTHOR(S): Raposo, Cesar; Almaraz, Marta; Martin, Mercedes; Weinrich, Volker; Mussons, M. Luisa; Alcazar, Victoria; Caballero, M. Cruz; Moran, Joaquin R.
CORPORATE SOURCE: Depto. Quim. Org., Univ. Salamanca, Salamanca, E-37008, Spain
SOURCE: Chemistry Letters (1995), (9), 759-60
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Nippon Kagakkai

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:29175

AB Tris(2-aminoethyl)amine and cis-1,3,5-tris(aminomethyl)cyclohexane were tested as spacers for phosphate receptors. E.g., N(CH2CH2NH2)3 reacts with PhNCX to give N[CH2CH2NHC(X)NHPh]3 [X = O (1), S (2)] in 90% and 95%, resp. The association consts. of 1 and 2 with tris(tetramethylammonium) phosphate in DMSO-d6 were determined to be Kass 1.1 x 104 M-1 for 1 and Kass 1.6 x 103 M-1 for 2. Ureas are better binding arms than thioureas for these spacers while the combination of this 1st functional group with chromenone fragments permits further increases in the phosphate and sulfate association consts.

L7 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:550045 CAPLUS
DOCUMENT NUMBER: 123:256099
TITLE: A cyclohexane spacer for phosphate receptors
AUTHOR(S): Raposo, Cesar; Perez, Nieves; Almaraz, Marta; Mussons, M. Luisa; Caballero, M. Cruz; Moran, Joaquin R.
CORPORATE SOURCE: Dep. Quim. Org., Univ. Salamanca, Salamanca, E-37008, Spain
SOURCE: Tetrahedron Letters (1995), 36(18), 3255-8
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A cyclohexanetricarboxylic acid is shown to be a good spacer for phosphate guests. The combination of 8-**aminochromenone**-2-carboxamide groups with the **cyclohexane spacer** leads to a versatile receptor (I), which sets six hydrogen bonds with either phosphonic acids or phosphates. Large association consts. are obtained for this receptor in DMSO and methanol when tetraalkylammonium phosphates are used as guests.

L7 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:529531 CAPLUS
DOCUMENT NUMBER: 123:159764
TITLE: Backbone modification of Chirasil-Val, part II: introduction of a rigid cyclohexyl spacer
AUTHOR(S): Koppenhoefer, Bernhard; Muehleck, Ulrich; Walser, Michael; Lohmiller, Konrad
CORPORATE SOURCE: Institut Organische Chemie, Universitaet Tuebingen,

Tuebingen, D-72076, Germany
SOURCE: Journal of Chromatographic Science (1995), 33(5), 217-22
CODEN: JCHSBZ; ISSN: 0021-9665
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The polysiloxane backbone of the gas chromatog. phase Chirasil-Val is modified by introducing a rigid spacer. Thus, improved shielding of the chiral moiety from the achiral polysiloxane units is achieved. The new phase, Chirasil-Nova-CH, was prepared by coupling 4-exomethylen cyclohexyl carboxylic acid to L-valine tert-butylamide, followed by hydrosilylation with a copolymer containing Si-H groups. As compared with Chirasil-Nova bearing the linear **spacer** (CH2)3, the new phase, Chirasil-Nova-CH with a **cyclohexyl spacer**, shows an advantageous shortening of retention times without an apparent loss in the resolution factors for the enantiomers of **amino** acid derivs.

L7 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:664564 CAPLUS
DOCUMENT NUMBER: 119:264564
TITLE: Quantitation of bioresmethrin, a synthetic pyrethroid grain protectant, by enzyme immunoassay
AUTHOR(S): Hill, Amanda S.; McAdam, David P.; Edward, Simone L.; Skerritt, John H.
CORPORATE SOURCE: Div. Plant Ind., CSIRO, North Ryde, NSW 2113, UK
SOURCE: Journal of Agricultural and Food Chemistry (1993), 41(11), 2011-18
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An enzyme immunoassay was developed for the synthetic pyrethroid, bioresmethrin, by use of a novel approach for synthesis of the pyrethroid-protein hapten conjugate for antibody preparation Bioresmethrin was hydrolyzed at the ester linkage, and following protection of the chrysanthemic acid group, the 2-methylprop-1-ene substituent was oxidatively cleaved. The newly formed and unprotected acid group was reesterified to the other bioresmethrin hydrolysis product [[2-(phenylmethyl)-4-furyl]-methanol], and following substitution of the protecting group, the hapten was coupled to either protein for antibody production or peroxidase for use in the immunoassay. The most sensitive assay employed an antibody prepared to a derivative with a 4-carbon **spacer** arm between bioresmethrin and carrier protein, but used a bioresmethrin-enzyme reporter prepared using a 4-(**aminomethyl**) **cyclohexane**-carboxylic acid **spacer** arm (limit of detection 2 ppb in buffer, 50 ppb in whole wheat or barley grain). Good correlations between HPLC and ELISA detns. of bioresmethrin in whole or ground barley grain were obtained. The sensitivity of the assay was slightly lower in ground grain or flour milling fractions due to interference from coextractives in methanol exts. Apart from resmethrin, of which bioresmethrin is the 1R,3R-trans-isomer, the assay did not detect a variety of other pyrethroids in com. use.

L7 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:657586 CAPLUS
DOCUMENT NUMBER: 115:257586
TITLE: Compatible polyester-acrylic polymer blends
INVENTOR(S): Siol, Werner; Fischer, Jens Dieter; Suefke, Thomas; Felger, Erwin; Frank, Klaus
PATENT ASSIGNEE(S): Rohm G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 440034	A1	19910807	EP 1991-100383	19910115
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
DE 4003088	A1	19910808	DE 1990-4003088	19900202
DE 4015018	A1	19910808	DE 1990-4015018	19900202
EP 635536	A1	19950125	EP 1994-114991	19910115
EP 635536	B1	19980429		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
AT 165610	E	19980515	AT 1994-114991	19910115
ES 2115832	T3	19980701	ES 1994-114991	19910115
WO 9111490	A1	19910808	WO 1991-DE54	19910121
W: JP, US				
JP 04504589	T2	19920813	JP 1991-502375	19910121
US 5250623	A	19931005	US 1991-721466	19910710
US 5374487	A	19941220	US 1993-86128	19930706
PRIORITY APPLN. INFO.:			DE 1990-4003088	19900202
			EP 1991-100383	19910115
			WO 1991-DE54	19910121
			US 1991-721466	19910710

AB The title blends contain 0.1-99.9% polyester from terephthalic acid and 1,4-**cyclohexanedimethanol** or C2-6 alkanediols and 0.1-99.9% polyacrylate containing 20-100% halogen-free monomer CH2:CR1CO2ZC6H5-nR2n (R1 = H, Me; R2 = alkyl, alkoxy, **aminoalkyl**; Z = direct bond or **spacer** with 1-6 members; n = 0-2). Mixing 50 parts PET (inherent viscosity 0.7) and 10 parts poly(Ph methacrylate) (viscosity number 46 mL/g) at 280° gave a glass-clear, compatible melt which became crystalline on cooling.

L7 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:494359 CAPLUS
DOCUMENT NUMBER: 113:94359
TITLE: Preparation and use of nucleic acid probes containing a conjugated peptide
INVENTOR(S): Ramachandran, Kuzhalmannam L.; Cate, Richard L.
PATENT ASSIGNEE(S): Biogen, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8912110	A1	19891214	WO 1989-US2363	19890531
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 5109124	A	19920428	US 1989-306798	19890202
AU 8938455	A1	19900105	AU 1989-38455	19890531
EP 440647	A1	19910814	EP 1989-907476	19890531
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03504801	T2	19911024	JP 1989-507114	19890531
PRIORITY APPLN. INFO.:			US 1988-200930	19880601
			US 1989-306798	19890202
			WO 1989-US2363	19890531

OTHER SOURCE(S): MARPAT 113:94359
GI

5'-TTGCTGGTATATCATCTGCGTTTTTTCATG I

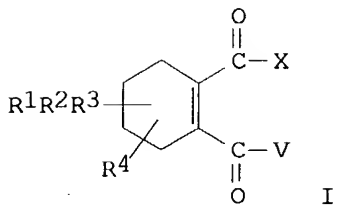
Lys-Tyr-Gly-Lys-Asn-Ser-Lys-Pro-Arg-Lys-Glu-Thr-Cys II

AB Polynucleotide probes are provided which have a label, e.g. a cysteine-containing peptide conjugate, bearing >1 signaling moieties. The label is attached to the probe by the reaction of an amino- and sulfhydryl-reactive heterobifunctional reagent with the probe and label; the reaction results in the oxidation of the sulfhydryl group of the label. The label may be attached to the 5' terminus of the probe, or to modified bases of the probe. The probes constructed according to the invention are useful e.g. in detecting target sequences in DNA. The signal-containing label may also be attached to e.g. an antibody for antigen detection. Thus, 5'-TTGCTGGTATATCATCTGCGTTTTTTCATG [I complementary to a portion of the gene coding for human tissue plasminogen activator (tPA)] was synthesized, reacted with hexamethylenediamine to **aminoalkylate** the 5'-hydroxyl group, and conjugated to the synthetic peptide label Lys-Tyr-Gly-Lys-Asn-Ser-Lys-Pro-Arg-Lys-Glu-Thr-Cys via reaction with a succinimidyl 4-(N-maleimidomethyl)**cyclohexane**-1-carboxylate **linker**. The labeled probes were biotinylated, purified and used in hybridization assays to detect DNA sequences prepared from a tPA-containing plasmid. When filters were washed using high-stringency conditions, 10 pg of target DNA could be detected with colorimetric detection techniques. I, which had a GC content of 37%, produced negligible background compared to other probes having a higher GC content. Use of the invention in labeling a monoclonal antibody to lipocortin-1 for immunochem. lipocortin-1 detection, in polymerase chain reaction technol., and in detection of human genomic DNA sequences is also described. Use of a dioxetan derivative as a chemiluminescent substrate for hybridization assays is disclosed. A method for isolation of DNA from a cell suspension is described.

L7 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:540511 CAPLUS
DOCUMENT NUMBER: 111:140511
TITLE: Aminocyclohexenedicarboxylates as spacers for drug-antibody conjugates
INVENTOR(S): Blattler, Walter A.; Lambert, John M.
PATENT ASSIGNEE(S): Dana-Farber Cancer Institute, Inc., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. 4,618,492.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4764368	A	19880816	US 1986-908388	19860917
US 4542225	A	19850917	US 1984-645614	19840829
US 4618492	A	19861021	US 1985-733479	19850513
PRIORITY APPLN. INFO.:			US 1984-645614	19840829
			US 1985-733479	19850513

OTHER SOURCE(S): MARPAT 111:140511
GI



I

AB Heterobifunctional reagents such as 1-cyclohexene-1,2-dicarboxylic acid derivs. I (X = amino group-containing substance that represents an amide-linked residue, e.g., amide-linked polypeptides, enzymes, cytotoxins, pokeweed antiviral proteins, ricin-A chain, abrin-A chain, modeccin-A chain, gelonin; R1-R3 = H, alkyl, Ph; V = O-; R4 = organic macromol. function from which the amino group-containing substance is to be released, e.g., cell surface receptor, antibodies) can be cleaved under mildly acidic conditions. A method for the preparation of crosslinkers is described and a method of using them comprises the delivery of biol. active agents across the membranes of selected target cells in a heterogeneous cell population; once inside the cell, the active agent is released, intact, by the transient mildly acidic conditions of certain cell structures. 1,4-Cyclohexadiene-1,2-dicarboxylic acid di-tert-Bu ester [prepared from butadiene and acetylenedicarboxylic acid di-tert-Bu ester as described in Chemical Ber. 113:531 (1980)] was subjected to standard hydroboration reaction with diborane to give 4-hydroxy-1-cyclohexene-1,2-dicarboxylic acid di-tert-Bu ester, which was tosylated. The tosylate was treated with Na azide and the resulting azido compound was reduced with 1,3-propanedithiol to give 4-amino-1-cyclohexene-1,2-dicarboxylic acid di-tert-Bu ester. The latter amine was added to a mixture containing 6-maleimidocaproic acid, N-ethylmorpholine, and isobutyl chloroformate in THF to give 4-(6-maleimidocaproylamino)-1-cyclohexene-1,2-dicarboxylic acid di-tert-Bu ester; the latter compound was hydrolyzed in the presence of CF3CO2H to give I [R1-R3 = H, R4 = 4-(6-maleimidocaproyl)amino; XV = O (anhydride)] (II). In order to obtain a crosslinked compound, a solution containing gelonin (protein cytotoxin derived from Gelonium multiflorum) and Na phosphate buffer was mixed with a solution containing a 12-fold excess of II in DMSO and the mixture was chromatographed over Sephadex G-25 to give I [R1-R3 = H; R4 = 4-(6-maleimidocaproyl)amino; X = amide-linked gelonin] (III). Antibody J5 was modified with 2-iminothiolane in order to introduce sulfhydryl groups, and a solution cong. modified antibody J5 and buffer was added to a solution containing III (0.7 maleimide-substitutes groups per mol gelonin), EDTA, Na phosphate buffer, and Et3N-HCl buffer to give an antibody conjugate with III. This antibody conjugate has the ability to recognize cells, e.g., common lymphoblastic leukemia cells, wherein the complex is internalized, and gelonin cleavage occurs. The release of gelonin is expected to be rapid with good yields at pH <6.5.

L7 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:56586 CAPLUS
DOCUMENT NUMBER: 108:56586
TITLE: Synthesis and conformational study of a cyclic hexapeptide analog of somatostatin: cyclo(Phe-D-Trp-Lys-Thr-o-AMPA)
AUTHOR(S): Vander Elst, P.; Van den Berg, E.; Pepermans, H.; Vander Auwera, L.; Zeeuws, R.; Tourwe, D.; Van Binst, G.
CORPORATE SOURCE: Unit Org. Sci., Free Univ. Brussels, Brussels, Belg.
SOURCE: International Journal of Peptide & Protein Research (1987), 29(3), 318-30
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:56586
AB Title analog [I, o-AMPA = (aminomethyl)phenylacetic acid residue] was prepared by cyclizing H-D-Trp-Lys(Z)-Thr(CH2Ph)-o-AMPA-Phe-OH.HCl (II, Z = CO2CH2Ph) by diphenylphosphoryl azide in the presence of N-methylmorpholine and deblocking the resulting cyclo[D-Trp-Lys(Z)-Thr(CH2Ph)-o-AMPA-Phe] by hydrogenolysis and HF. II was prepared by conventional solution methods; the key step was the coupling of Boc-D-Thr-Lys(Z)-Thr(CH2Ph)-OH (Boc = Me3CO2C) with H-o-AMPA-Phe-OMe.HCl by DCC/1-hydroxybenzotriazole to give Boc-D-Thr-Lys(Z)-Thr(CH2Ph)-o-AMPA-Phe-OMe. I showed no growth hormone inhibiting activity. The conformation of I was studied by 2-dimensional NMR spectroscopy. The bridging of the active (7-10) sequence of somatostatin by the o-AMPA spacer leads to conformations which differ from the proposed bioactive one.

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